L Number	Hits	Search Text	DB	Time stamp
1	6002	(indol or indolyl) and (piperidinyl or tetrahydropyridin or tetrahydropyridine)	USPAT; US-PGPUB	2003/06/09 13:43
2	726	((indol or indolyl) and (piperidinyl or tetrahydropyridin or tetrahydropyridine)) and (serotonin or '5-HT')	USPAT; US-PGPUB	2003/06/09 13:45

EAST

10/053,168

10/ 053,168

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 42	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 43	Jun 06	PASCAL enhanced with additional data

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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FILE 'HOME' ENTERED AT 12:05:21 ON 07 JUN 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:05:34 ON 07 JUN 2003
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STRUCTURE FILE UPDATES:    6 JUN 2003  HIGHEST RN 526915-11-7
DICTIONARY FILE UPDATES:   6 JUN 2003  HIGHEST RN 526915-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Uploading 10053168.str

L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
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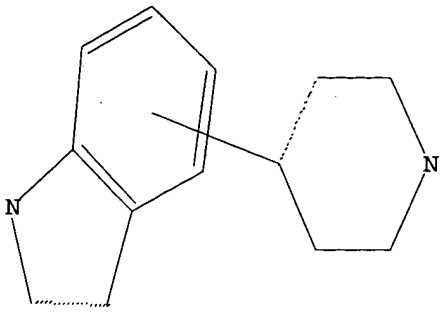
10/ 053,168



Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 38.7% PROCESSED 400000 ITERATIONS 174 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 386

L2 174 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 12:06:06 ON 07 JUN 2003
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FILE COVERS 1907 - 7 Jun 2003 VOL 138 ISS 24
FILE LAST UPDATED: 6 Jun 2003 (20030606/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 35 L2

10/ 053,168

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:261828 CAPLUS
DOCUMENT NUMBER: 138:287668
TITLE: Preparation of substituted 3-pyridyl indoles and indazoles as C17,20 lyase inhibitors
INVENTOR(S): Ladouceur, Gaetan H.; Burke, Michael J.; Wong, Wai C.; Bierer, Donald
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027094	A2	20030403	WO 2002-US30482	20020926

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-324993P P 20010926
OTHER SOURCE(S): MARPAT 138:287668
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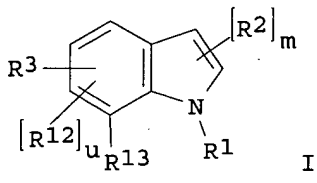
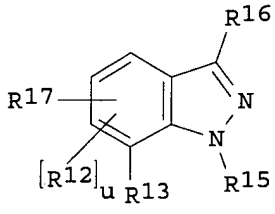


I



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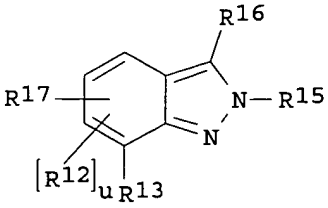

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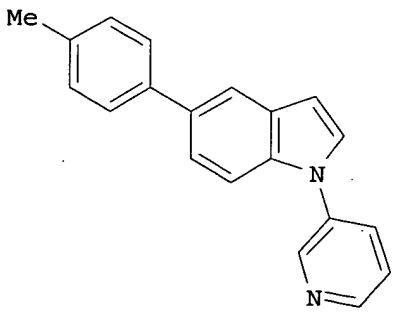

IV

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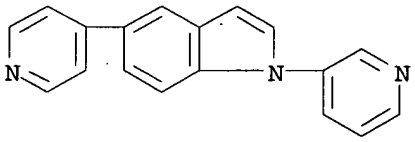
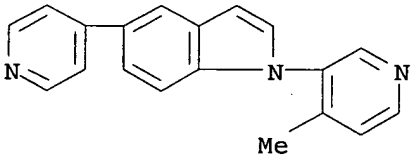
AB The title compds. [I (wherein R1 = (un)substituted pyridyl, pyridyl N-oxide, Ph; R2 = alkyl; m = 0-2; R3 = (un)substituted pyridyl, pyridyl N-oxide, Ph, etc.; R12 = alkyl, alkoxy, halo, etc.; u = 0-2; one of R1 and R3 is a 3-pyridyl or 3-pyridyl N-oxide which is unsubstituted at the 2- and 6- positions), II, III (wherein R12 = alkyl, alkoxy, halo, etc.; R13 = H, R12; R15 = (un)substituted pyridyl, pyridyl N-oxide; R16 = H, alkyl; R17 = (un)substituted pyridyl, Ph; one of R15 and R17 is a 3-pyridyl or 3-pyridyl N-oxide which is unsubstituted at the 2- and 6- positions)], useful as inhibitors of lyases, e.g., the 17.alpha.-hydroxylase-C17,20 enzyme, for treating prostate cancer or breast cancer, were prepd. Thus, coupling 5-bromo-1-(3-pyridyl)-1H-indole (prepn. given) with 4-methylphenylboronic acid in the presence of Pd(PPh3)4 and Na2CO3 in DME afforded the indole IV. All compds. tested have IC50 in the human C17,20 biochem. assay or the human C17,20 cellular assay of less than 10 .mu.M.

IT **504424-27-5P 504424-47-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-pyridyl indoles and indazoles as C17,20 lyase inhibitors)

RN 504424-27-5 CAPLUS
CN 1H-Indole, 1-(3-pyridinyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 504424-47-9 CAPLUS
CN 1H-Indole, 1-(4-methyl-3-pyridinyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:5957 CAPLUS
DOCUMENT NUMBER: 138:55984
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

10/ 053,168

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

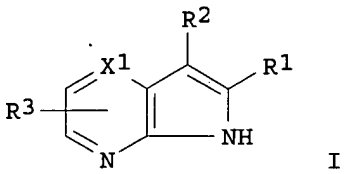
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-15109 A 20010621
US 2001-300257P P 20010622

OTHER SOURCE(S): MARPAT 138:55984
GI



I

AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. contg. such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, esp. Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of prepn. are not claimed, >100 example prepns. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by .gtoreq.1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and .gtoreq.1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and .gtoreq.1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7,

-N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and .gtoreq.1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by .gtoreq.1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by .gtoreq.1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

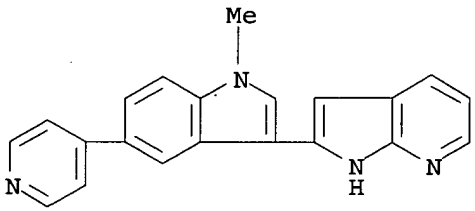
IT **348639-47-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of azaindoles as protein kinase inhibitors with therapeutic uses)

RN 348639-47-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[1-methyl-5-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



IT **348639-46-3P**, 2-[5-(Pyridin-4-yl)-1-methyl-1H-indol-3-yl]-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine **348640-91-5P**, 2-[5-(1-Benzyloxycarbonyl-1,2,5,6-tetrahydropyridin-4-yl)-1-methyl-1H-indol-3-yl]-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of azaindoles as protein kinase inhibitors with therapeutic uses)

RN 348639-46-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-methylphenyl)sulfonyl]-2-[1-methyl-5-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

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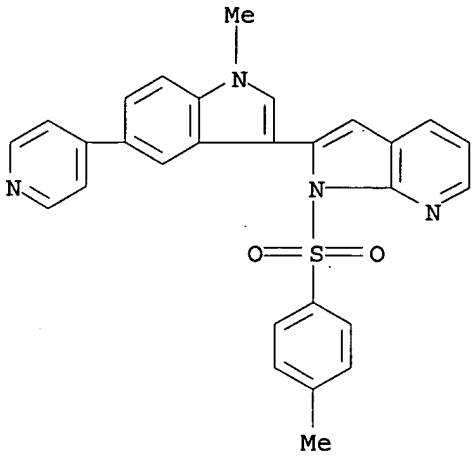
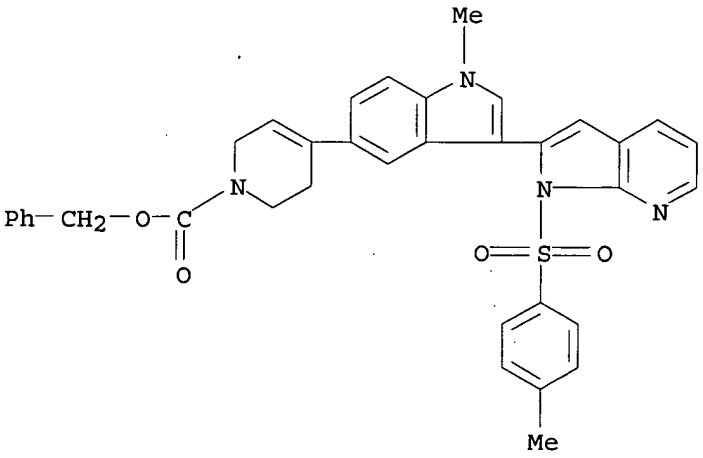


RN 348640-91-5 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-4-[1-methyl-3-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-indol-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:946268 CAPLUS
DOCUMENT NUMBER: 138:24728
TITLE: Preparation of new indole derivatives with 5-HT6 receptor affinity
INVENTOR(S): Beard, Colin Charles; Clark, Robin Douglas; Fisher, Lawrence Emerson; Harris, Ralph New, III; Repke, David Bruce
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002098857	A1	20021212	WO 2002-EP5890	20020529	
US 2003073700	A1	20030417	US 2002-164660	20020606	

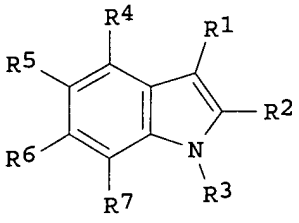
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

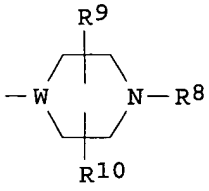
PRIORITY APPLN. INFO.: US 2001-296705P P 20010607
US 2001-340212P P 20011213

OTHER SOURCE(S): MARPAT 138:24728

GI



I



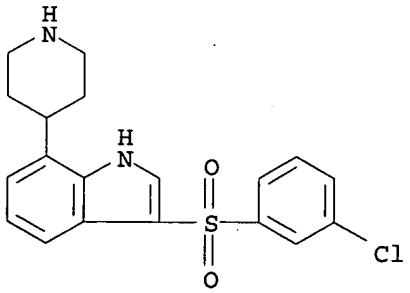
II

AB The title compds. [I; R1 = S(O)0-2A, COA, (CH2)0-1A (wherein A = (un)substituted aryl, heteroaryl); R2 = H, alkyl, alkoxy, alkylthio; R3 = H, alkyl; R4 = H, halo, alkyl, alkoxy, alkylthio, etc.; one of R5-R7 = II (wherein W = CH, N; R8-R10 = H, alkyl; or R8 and R9 together may form alkylene) and the others = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts which have generally 5-HT6 receptor affinity, were prepd. and formulated. E.g., a 6-step synthesis of I.HCl [R1 = SO2Ph; R2-R6 = H; R7 = piperazino], starting with 3-methyl-2-nitrophenol, which showed pKi of 9.28 against 5-HT6 receptor binding, was given.

IT **478082-67-6P 478082-68-7P 478082-95-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of new indoles with 5-HT6 receptor affinity)

RN 478082-67-6 CAPLUS

CN 1H-Indole, 3-[(3-chlorophenyl)sulfonyl]-7-(4-piperidinyl)- (9CI) (CA INDEX NAME)



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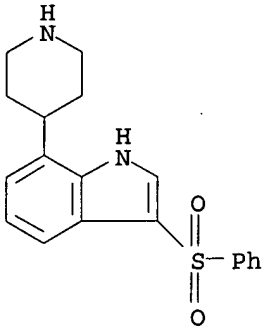
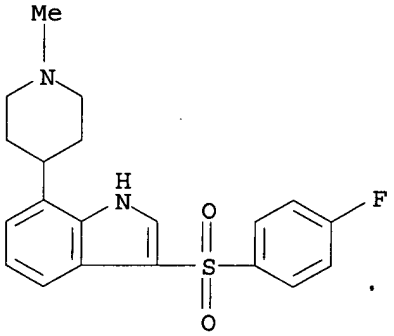
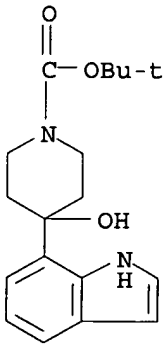
RN 478082-68-7 CAPLUS
CN 1H-Indole, 3-(phenylsulfonyl)-7-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 478082-95-0 CAPLUS
CN 1H-Indole, 3-[(4-fluorophenyl)sulfonyl]-7-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



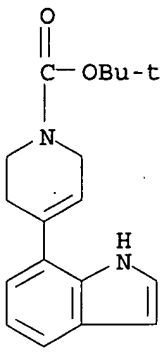
IT **478083-12-4P 478083-13-5P 478083-14-6P**
478083-19-1P 478083-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of new indoles with 5-HT6 receptor affinity)
RN 478083-12-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-(1H-indol-7-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



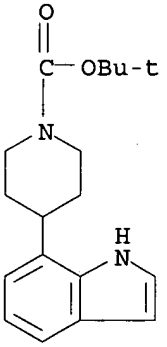
RN 478083-13-5 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-4-(1H-indol-7-yl)-,

10/ 053,168

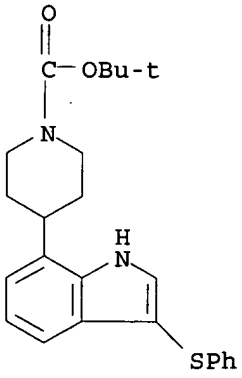
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 478083-14-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-indol-7-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

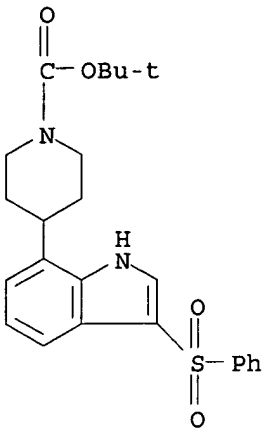


RN 478083-19-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(phenylthio)-1H-indol-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 478083-20-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(phenylsulfonyl)-1H-indol-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/ 053,168



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:921906 CAPLUS
DOCUMENT NUMBER: 138:4519
TITLE: Preparation of arylhydrazines and substituted indoles from aromatic compounds and hydrazones.
INVENTOR(S): Hicks, Frederick; Gou, Da-Ming; Marchese, Salvatore Anthony; Martel, Lawrence J.; Necula, Atena; Benetti, Richard E.; Silva, Richard A.
PATENT ASSIGNEE(S): Rhodia Chirex Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6489512	B1	20021203	US 2002-177381	20020621

PRIORITY APPLN. INFO.: US 2002-177381 20020621
OTHER SOURCE(S): CASREACT 138:4519

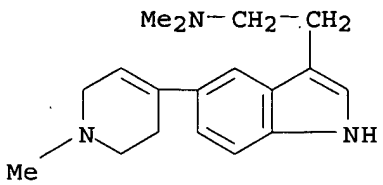
AB Arylhydrazines were prepd. by (a) reacting a substrate arom. compd. bearing an activated C atom and a hydrazone in the presence of a transition metal catalyst to form an aryl hydrazone having a new C-N bond between the activated C of the substrate arom. compd. and a N atom of the hydrazone, and (b) hydrolyzing the aryl hydrazone. Thus, Pd(OAc)2, 2-dicyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl, Na tert-butoxide, 4-(1-aza-1-methylcyclohex-3-en-4-yl)-1-chlorobenzene (prepn. given), and benzophenone hydrazone were heated in PhMe at 80.degree. for 20 h to give 76% 4-(1-aza-1-methylcyclohex-3-en-4-yl)phenyl benzophenone hydrazone. The latter was heated with ethanolic HCl at 100.degree. for 25 min. to give 93.6% 4-(1-aza-1-methylcyclohex-3-en-4-yl)phenylhydrazine hydrochloride. This in H2O/EtOH was treated with 4-(N,N-dimethylamino)butyral di-Me acetal then with CF3CO2H followed by stirring for 6 h at 55.degree. to give 5-(1-aza-1-methylcyclohex-3-en-4-yl)-3-(2-dimethylaminoethyl)-1H-indole hydrochloride.

IT **251967-66-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arylhydrazines and substituted indoles from arom. compds. and hydrazones)

RN 251967-66-5 CAPLUS

10/ 053,168

CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



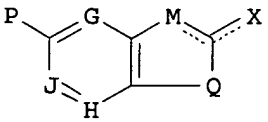
●2 HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:716249 CAPLUS
DOCUMENT NUMBER: 137:232553
TITLE: Preparation of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV
INVENTOR(S): Harriman, Geraldine C. B.; Carson, Kenneth G.; Flynn, Daniel L.; Solomon, Michael E.; Song, Yuntao; Trivedi, Bharat K.; Roth, Bruce D.; Kolz, Christine N.; Pham, Ly; Sun, Kuai-Lin
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA; Warner-Lambert Company
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072549	A1	20020919	WO 2002-US7559	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003064991	A1	20030403	US 2002-96361	20020312

PRIORITY APPLN. INFO.: US 2001-275248P P 20010312
OTHER SOURCE(S): MARPAT 137:232553
GI



I

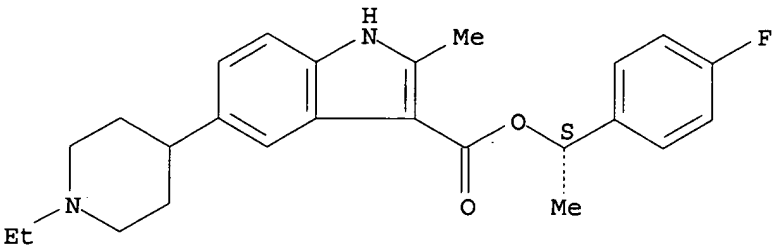
AB Disclosed are novel compds. (shown as I; e.g. 1-benzyl-5-(2-diethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid) or a physiol. acceptable salt, amide, ester or prodrug thereof. The compds. can be used to modulate (antagonize, agonize) chemokine receptor function. Also disclosed is a method for treating a patient having an inflammatory disease and/or viral infection comprising administering an effective amt. of I. In particular embodiments, the invention is a method for treating a patient infected with HIV. The compds. of the present invention were evaluated using a described CCR-5 receptor binding assay. Particularly preferred compds. of the invention can inhibit the binding of sCD-4/GP-120 to CCR-5 by about fifty percent at a concn. of .ltoreq. .apprx.200 .mu.M (IC50 .ltoreq. 200 .mu.M). For example the IC50 values for 1-[2-(3-benzyloxycarbonyl-2-methyl-1H-indol-5-yloxy)ethyl]-3-phenylpyrrolidinium chloride and 1-benzyl-5-(2-diethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid benzyl ester were 18.0 and 18.2 .mu.M, resp. 2-Methyl-5-(2-pyrrolidine-1-ylethylamino)-1H-indole-3-carboxylic acid benzyl ester caused 50% inhibition at 17.5 .mu.M. 2-Methyl-5-(2-pyrrolidin-1-ylethyl)-1H-indole-3-carboxylic acid benzyl ester hydrochloride and 5-(2-dimethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid (S)-1-phenylethyl ester had IC50s of .apprx.4.8 .mu.M. 2-Methyl-5-[2-[methyl(tetrahydropyran-4-yl)amino]ethyl]-1H-indole-3-carboxylic acid benzyl ester had an IC50 of 13.9 .+-. 1.6 .mu.M. 2-Methyl-5-(pyrrolidin-1-ylethoxy)-1H-indole-3-carboxylic acid benzyl ester, 2-methyl-5-(1-methyl-2-pyrrolidin-1-ylpropoxy)-1H-indole-3-carboxylic acid benzyl ester and 5-(2-diethylaminoethyl)-2-methyl-1H-indole-3-carboxylic acid benzyl ester hydrochloride had IC50s of 20.3 .+-. 2.8 .mu.M, 5.52 .+-. 1.1 .mu.M and 1.93 .+-. 0.32 .mu.M, resp. Preferred compds. can inhibit the binding of sCD-4/GP-120 to CCR-5 with IC50s of .apprx.10 .mu.M to .apprx.100 .mu.M or .apprx.1 nM to .apprx.10 .mu.M. Although the methods of prepn. are not claimed, >200 example prepns. are included. In I, G is CR1 or N; J is CR2 or N; H is CR3 or N; M is C-Y, CH-Y, N-Y or N; Q is NR4, SR4, O, SO or SO2. X is H, halogen, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, O, NR5, S, SR5or NR5R6. Y is CO2R17, C(O)NR17R18, R19, C(O)R17, 3-R17-1,2,4-oxadiazol-5-yl, 5-R17-1,3,4-oxadiazol-2-yl. P is -A-L-N-contg. heteroaryl, -A-L-substituted N-contg. heteroaryl, -A-L-NR7R8, -(CR10R11)c-cyclo-CR9(CH2)a(CH2)bNR7, wherein a, b and c are independently, 0-4 with provisos; A is 0, N(R12), a bond or is absent. L is C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, a bond, or -C(R13)(R14)C(R15)(R16)- wherein A is attached on the right and N is attached on the left. R1, R2, R3, R11, R13, R14, R15, R16 and R19 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, halogen, C1-C8 alkoxy, C(O)R22, CO2R22, C(O)NR22R23, NR22R23, CZR22R23. Z is aryl, substituted aryl, heteroaryl or substituted heteroaryl; R22 and R23 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl; or R22 and R23 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R4-R9, R12, R17 and R18 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl,

alkylheteroaryl, C(O)R20, CO2R20, CZ'R20R21; R20 and R21 are independently H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl or alkylheteroaryl; or R20 and R21 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; Z' is aryl, substituted aryl, heteroaryl or substituted heteroaryl; or R1 taken together with any one of R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R2 taken together with any one of R3, R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. P taken together with either R1 or R2 and the atoms to which they are bonded form a 5-8 membered substituted nonarom. ring that can contain a heteroatom selected from O, N and S. Any two of R7-R17, taken together with the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; with provisos.

IT **459452-17-6P**, 5-(1-Ethylpiperidin-4-yl)-2-methyl-1H-indole-3-carboxylic acid (S)-1-(4-fluorophenyl)ethyl ester **459452-18-7P**, 5-(1-Ethylpiperidin-4-yl)-2-methyl-1H-indole-3-carboxylic acid (S)-1-(pyridin-4-yl)ethyl ester **459452-21-2P**, 5-(1-Ethyl-4-methylpiperidin-4-yl)-2-methyl-1H-indole-3-carboxylic acid (S)-1-(4-fluorophenyl)ethyl ester **459452-22-3P**, 5-(1-Ethyl-4-methylpiperidin-4-yl)-2-methyl-1H-indole-3-carboxylic acid (S)-1-(pyridin-4-yl)ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV)

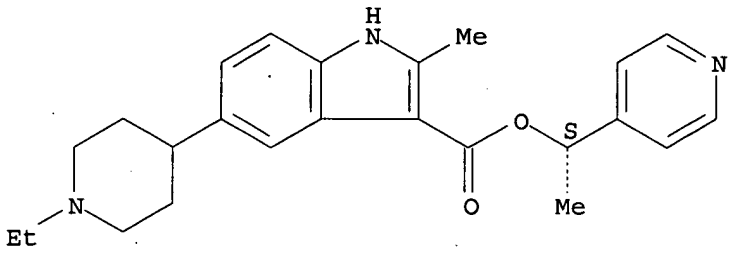
RN 459452-17-6 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-(1-ethyl-4-piperidinyl)-2-methyl-, (1S)-1-(4-fluorophenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



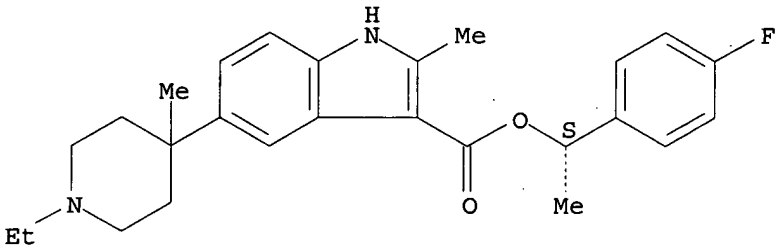
RN 459452-18-7 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-(1-ethyl-4-piperidinyl)-2-methyl-, (1S)-1-(4-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



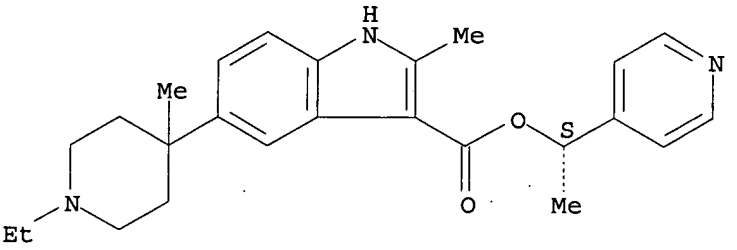
RN 459452-21-2 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-(1-ethyl-4-methyl-4-piperidinyl)-2-methyl-, (1S)-1-(4-fluorophenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 459452-22-3 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-(1-ethyl-4-methyl-4-piperidinyl)-2-methyl-, (1S)-1-(4-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:240778 CAPLUS
DOCUMENT NUMBER: 136:279356
TITLE: Preparation of substituted azepino[4,5-b]indoles as 5-HT ligands
INVENTOR(S): Frank, Kristine E.; Fu, Jian-Min; Acker, Brad A.; Ennis, Michael D.; Fisher, Jed F.; Jacobsen, Eric Jon; McWhorter, William W.; Morris, Jeanette K.; Rogier, Donald Joseph, Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

10/ 053,168

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024701	A2	20020328	WO 2001-US29535	20010920
WO 2002024701	A3	20020613		

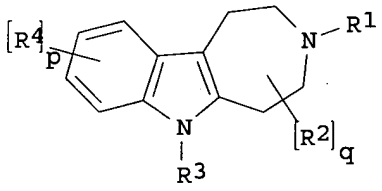
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001092898	A5	20020402	AU 2001-92898	20010920
US 2002077318	A1	20020620	US 2001-957319	20010920
US 2002107278	A1	20020808	US 2001-957625	20010920

PRIORITY APPLN. INFO.: US 2000-234376P P 20000920
US 2001-266047P P 20010201
US 2001-301964P P 20010629
WO 2001-US29535 W 20010920

OTHER SOURCE(S): MARPAT 136:279356
GI



I

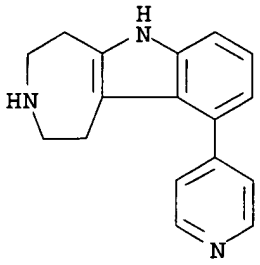
AB The title compds. [I; R1 = H, alkyl, etc.; R2 = alkyl, OH; R3 = H. alkyl, aryl, etc.; R4 = alkyl, alkoxy, halo, etc.; p = 0-4; q = 0-8] and their pharmaceutical salts which are 5-HT ligands and are useful for treating diseases, disorders, and/or conditions in a mammal wherein activity of a 5-HT receptor is implicated such as anxiety, depression, schizophrenia, epilepsy, migraine, Alzheimer's disease, sleep disorders, obesity, a stress related disease, or withdrawal from drug abuse, were prepd. Thus, reacting 3-benzoyl-7-bromo-1,2,3,4,5,6-hexahydroazepino[4,5-b]indole with phenylboronic acid (86%) followed by redn. of the resulting 3-benzoyl-7-phenyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indole with LiAlH4 (92%) afforded I [R1 = CH2Ph; R2, R3 = H; R4 = 7-Ph].

IT **405306-70-9P 405311-78-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted azepino[4,5-b]indoles as 5-HT ligands)

RN 405306-70-9 CAPLUS

CN Azepino[4,5-b]indole, 1,2,3,4,5,6-hexahydro-10-(4-pyridinyl)- (9CI) (CA INDEX NAME)

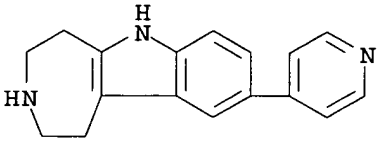
10/ 053,168



RN 405311-78-6 CAPLUS
CN Formic acid, compd. with 1,2,3,4,5,6-hexahydro-9-(4-pyridinyl)azepino[4,5-b]indole (1:1) (9CI) (CA INDEX NAME)

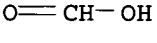
CM 1

CRN 405311-77-5
CMF C17 H17 N3



CM 2

CRN 64-18-6
CMF C H2 O2



L3 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:240777 CAPLUS
DOCUMENT NUMBER: 136:279440
TITLE: Preparation of azepino[4,5-b]indolines as 5-HT receptor ligands for treatment of central nervous system disorders
INVENTOR(S): Frank, Kristine E.; Fu, Jian-Min; Acker, Brad A.; Ennis, Michael D.; Fisher, Jed F.; Jacobsen, Eric Jon; McWhorter, William W.; Morris, Jeanette K.; Rogier, Donald Joseph, Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 359 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024700	A2	20020328	WO 2001-US29447	20010920
WO 2002024700	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

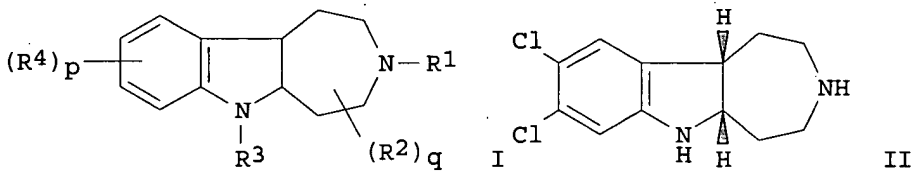
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001094606	A5	20020402	AU 2001-94606	20010920
US 2002077318	A1	20020620	US 2001-957319	20010920
US 2002107278	A1	20020808	US 2001-957625	20010920

PRIORITY APPLN. INFO.:
US 2000-234376P P 20000920
US 2001-266047P P 20010201
US 2001-301964P P 20010629
WO 2001-US29447 W 20010920

OTHER SOURCE(S): MARPAT 136:279440

GI



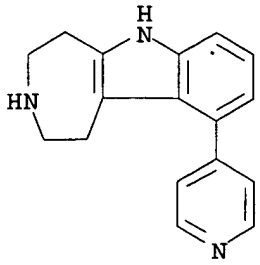
AB Title compds. I [wherein R1 = H, alkyl, and hydrocarbylene aryl; R2 = independently alkyl or OH; R3 = H, alkyl, (hetero)aryl, R7CO, R7OCO, R5R6NCO, R7CS, R7SCO, R5R6NCS, R7SO2, R5R6NSO2, R7SO, R5R6NSO, or substituted hydrocarbylene(CO); R4 = independently aryl(oxy), alkyl, heteroaryl, halo, OH, CN, NO2, CF3, CF3O, (un)substituted amino, etc.; R5 and R6 = independently H, (halo)alkyl, (cyclo)alkenyl, alkynyl, (hydrocarbylene)aryl; or NR5R6 = pyrrolidino, piperidino, morpholino, or thiomorpholino; R7 = independently H, (halo)alkyl, (cyclo)alkenyl, or (hydrocarbylene)aryl; p = 0-4; q = 0-10; or pharmaceutical salts thereof] and their azepino[4,5-b]indole precursors were prepd. For example, 3-benzoyl-8,9-dichloro-1,2,3,4,5,6-hexahydroazepino[4,5-b]indole was deprotected with KOH in ethylene glycol (73%) and the azepinoindole hydrogenated with Na(CN)BH3 in TFA (36%) to give the cis-azepinoindoline II. I are serotonin receptor 5-HT ligands that are useful for treating diseases of the central nervous system, such as anxiety, depression, and obesity (no data).

IT **405306-70-9P**, 10-(4-Pyridinyl)-1,2,3,4,5,6-hexahydroazepino[4,5-b]indole **405311-78-6P**, 9-Pyridin-4-yl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indole formate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of azepino[4,5-b]indolines as 5-HT receptor ligands for treatment of central nervous system disorders)

RN 405306-70-9 CAPLUS

CN Azepino[4,5-b]indole, 1,2,3,4,5,6-hexahydro-10-(4-pyridinyl)- (9CI) (CA INDEX NAME)

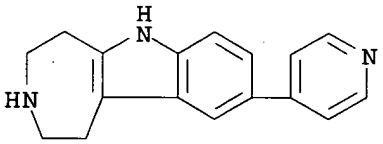
10/ 053,168



RN 405311-78-6 CAPLUS
CN Formic acid, compd. with 1,2,3,4,5,6-hexahydro-9-(4-pyridinyl)azepino[4,5-b]indole (1:1) (9CI) (CA INDEX NAME)

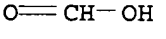
CM 1

CRN 405311-77-5
CMF C17 H17 N3



CM 2

CRN 64-18-6
CMF C H2 O2



L3 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:72088 CAPLUS
DOCUMENT NUMBER: 136:134670
TITLE: Preparation of substituted 1-(4-aminophenyl)indoles and their use as anti-inflammatory agents, and in treatment of autoimmune diseases
INVENTOR(S): Sharma, Rajiv
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006273	A1	20020124	WO 2001-US21670	20010709
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 6353007	B1	20020305	US 2000-616014	20000713
EP 1303508	A1	20030423	EP 2001-952572	20010709

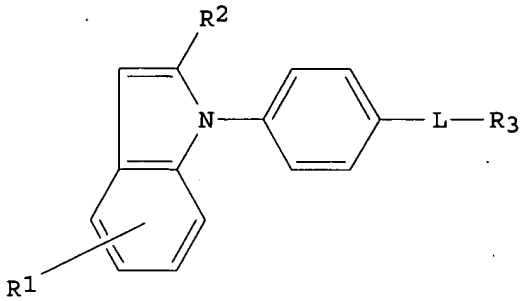
10/ 053,168

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

PRIORITY APPLN. INFO.: US 2000-616014 A 20000713
WO 2001-US21670 W 20010709

OTHER SOURCE(S): MARPAT 136:134670

GI



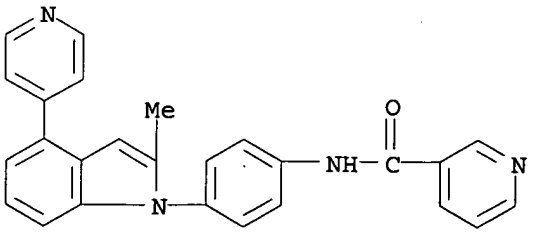
I

AB The prepn. of 1-(4-Aminophenyl)indoles [I; wherein R1, R2 = same or different, H, CF3, halo, CN, (un)branched C1-8 alkyl; (un)branched C1-8 alkenyl, C3-8 cycloalkyl optionally substituted with OH, CN, OMe, C1-8 alkoxy, C1-4 alkyloxyalkyl, C1-8 alkylthio, C1-4 alkylthioalkyl, C1-8 dialkylamino, C1-4 dialkylaminoalkyl, organocarboxy, etc.; L = NHC(O), NHC(O)O, NHC(O)C(O), NHC(S), CNH, NHC(O)NH, NHC(S)NH, NHCH2, organoamino, etc.; R3 = C1-8 alkyl, C1-8 alkyloxy, C1-8 alkylthio, C1-8 alkylamino, C1-4 alkoxyalkyl, C1-4 alkylthioalkyl, C1-4 alkylaminoalkyl, C1-4 dialkylalkylaminoalkyl, carbocyclyl or heterocyclyl, which carbocyclyl or heterocyclyl is optionally substituted with one or more of the following: halo, CN, NO2, SO2NH2, etc., organocarboxy, organoamino], or a pharmaceutically acceptable deriv. thereof., is described. Thus, N-[4-(2-methylindol-1-yl)phenyl]pyridine-3-carboxamide was prepd. by a multistep synthesis, and had an IC50 value below 10.mu.M. The prepd. indoles inhibit IL-2 prodn. in T-lymphocytes, and thus are useful as anti-inflammatory agents, and in the treatment of autoimmune diseases.

IT **391914-05-9P 391914-06-0P 391914-09-3P**
391914-10-6P 391914-13-9P 391914-14-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 1-(4-aminophenyl)indoles and use as anti-inflammatory agents, and in treatment of autoimmune diseases)

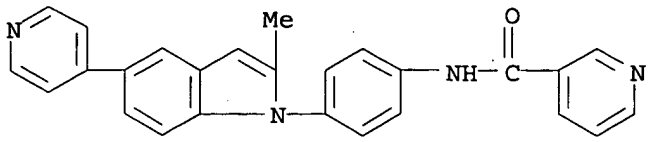
RN 391914-05-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[2-methyl-4-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

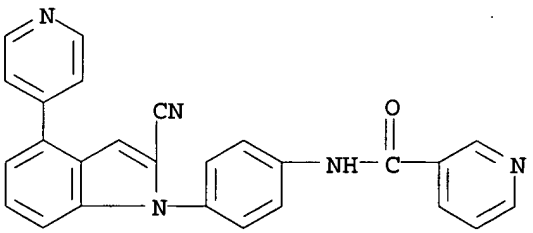


RN 391914-06-0 CAPLUS

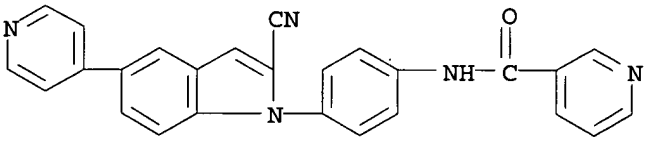
CN 3-Pyridinecarboxamide, N-[4-[2-methyl-5-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



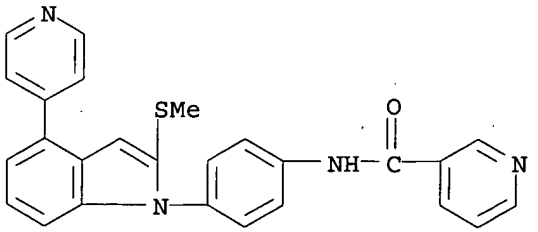
RN 391914-09-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-cyano-4-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



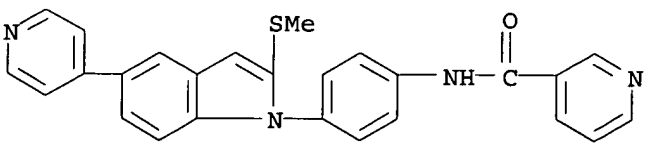
RN 391914-10-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-cyano-5-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 391914-13-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-(methylthio)-4-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 391914-14-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-(methylthio)-5-(4-pyridinyl)-1H-indol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



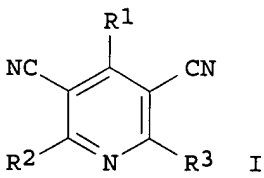
10/ 053,168

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:72052 CAPLUS
DOCUMENT NUMBER: 136:118474
TITLE: Preparation of dicyanopyridine derivatives as high-conductance calcium-sensitive potassium channel openers
INVENTOR(S): Harada, Hironori; Watanuki, Susumu; Takuwa, Tomofumi; Kawaguchi, Kenichi; Okazaki, Toshio; Hirano, Yuusuke; Saitoh, Chikashi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006237	A1	20020124	WO 2001-JP6136	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001069529	A5	20020130	AU 2001-69529	20010716
EP 1302463	A1	20030416	EP 2001-948028	20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: JP 2000-216982 A 20000718
WO 2001-JP6136 W 20010716
OTHER SOURCE(S): MARPAT 136:118474
GI



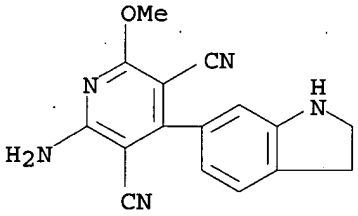
I

AB Claimed are therapeutic agents for opening high-conductance calcium-sensitive potassium channel contg. the title compds. [I; R1 = H, (un)substituted lower alkyl, cycloalkyl, aryl, heteroaryl, or 5 to 6-membered satd. heterocyclyl; R2, R3 = OR4, S(O)nR4, NR4R5, NHCOR5, NHS(O)nR5, NHCONR4R5, N(COR5)2, halo, (un)substituted heteroaryl; wherein R4 = H, (un)substituted lower alkyl, lower alkenyl, alkynyl, aryl, heteroaryl, or 5 to 6-membered satd. heterocyclyl; R5 = H, (un)substituted lower alkyl, cycloalkyl, lower alkoxy-lower alkyl, aryloxy-lower alkyl, aryl-lower alkyl, (un)substituted aryl or heteroaryl; or R4 and R5 are taken together with the adjacent N atom to form a 5 to 6-membered satd. heterocyclyl or heteroaryl; n = 0, 1,2] or salts thereof as the active ingredients. The compds. I exhibit excellent activity of opening the

maxi-K channel, also called as BK channel, and bladder smooth muscle contracting activity based on the maxi-K opening activity, and thus can be used in the treatment of frequent urination and urinary incontinence. Thus, 0.70 g Na was dissolved in 20 mL MeOH at room temp. with stirring, followed by adding 0.85 g malononitrile and 2.0g 2-(thiophen-3-ylmethylidene)malononitrile, and the resulting mixt. was refoxed with stirring for 3 h to give 2-amino-6-methoxy-4-(2-thienyl)pyridine-3,5-dicarbonitrile (II). II and 2-amino-6-(2-pyridylmethoxy)-4-(2-fluorophenyl)pyridine-3,5-dicarbonitrile showed IC50 of 0.15 and 0.042 .mu.M, resp., for inhibiting the K+ ion-induced contraction of rat bladder.

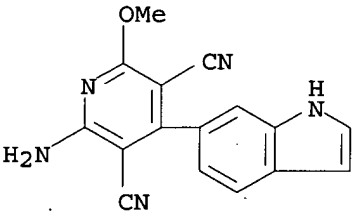
IT **391664-31-6P 391665-82-0P 391668-84-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dicyanopyridine derivs. as high-conductance calcium-sensitive potassium channel openers for treatment of frequent urination and urinary incontinence)

RN 391664-31-6 CAPLUS
CN 3,5-Pyridinedicarbonitrile, 2-amino-4-(2,3-dihydro-1H-indol-6-yl)-6-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

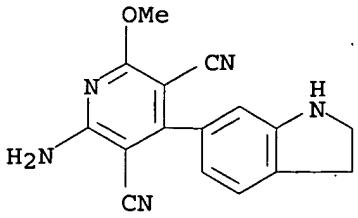


● HCl

RN 391665-82-0 CAPLUS
CN 3,5-Pyridinedicarbonitrile, 2-amino-4-(1H-indol-6-yl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 391668-84-1 CAPLUS
CN 3,5-Pyridinedicarbonitrile, 2-amino-4-(2,3-dihydro-1H-indol-6-yl)-6-methoxy- (9CI) (CA INDEX NAME)

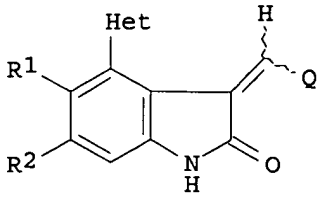


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

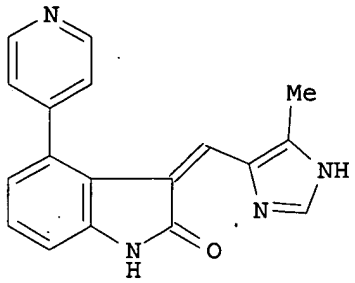
L3 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:31440 CAPLUS
DOCUMENT NUMBER: 136:102386
TITLE: Preparation and use of 4-heteroaryl-3-heteroarylidenyl-2-indolinones and their use as protein kinase inhibitors
INVENTOR(S): Tang, Peng Cho; Wei, Chung Chen; Huang, Ping; Cui, Jingron
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002551	A1	20020110	WO 2001-US20768	20010629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002187978	A1	20021212	US 2001-894902	20010629
EP 1296975	A1	20030402	EP 2001-948830	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-215654P P 20000630
WO 2001-US20768 W 20010629
OTHER SOURCE(S): MARPAT 136:102386
GI



I



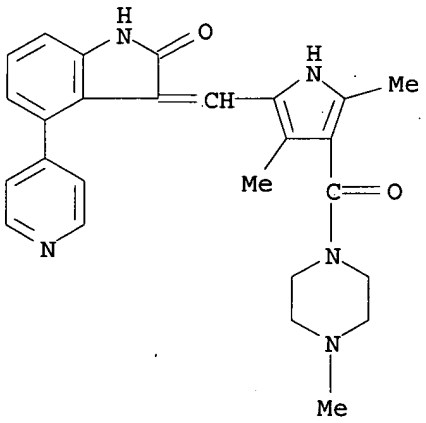
II

AB Title compds. I [R1-2 = H, alkyl, cycloalkyl, aryl, heteroaryl, heteroalicyclic, halo, etc.; Het = (un)substituted arom. heterocycle contg. at least one and not more than two N atoms, tetrahydro(thio)pyranyl, (thio)morpholino, piperidinyl, piperazinyl, tetrazolyl, etc.; Q = (un)substituted arom. heterocycle contg. not more than two N atoms, 5-membered ring (un)substituted heterocycle contg. N, O or S, e.g., imidazolyl, pyrrolyl, indolyl, etc.] with some exceptions, were prepd. Included are 75 synthetic examples and results for several protein tyrosine kinase assays for those compds. For instance, 4-bromoindole was coupled to bis(pinacolato)diborane (DMSO, KOAc, PdCl2(dppf).bul.CH2Cl2, 80.degree.C, 22 h). The resulting dioxaborolane was coupled to 4-bromopyridine.bul.HCl (THF, Pd(PPh3)4, NaOH, 70.degree.C, 6 h) to give the indole which was treated with C5H5N.bul.Br3 (t-BuOH/EtOH/H2O, 1h) followed by zinc (stirred 1 addnl. hour) to give 4-(pyridin-4-yl)-1,3-dyhydroindol-2-one as a yellow solid. Condensation of this intermediate with 5-methylimidazole-4-carboxaldehyde (EtOH, piperidine, 2 days) afforded II. II had IC50 = 4.88 mM for FGFR-1 tyrosine kinase and 0.03 mM for cdk2/cyclin A tyrosine kinase. I are useful in treating cancer, immunol. disorders, etc.

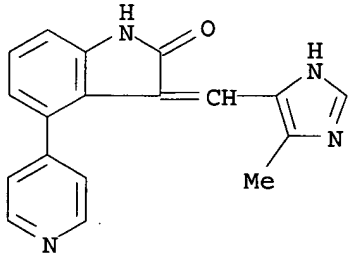
IT **388116-44-7P 388116-45-8P 388116-46-9P**
388116-47-0P 388116-50-5P 388116-51-6P
388116-52-7P 388116-54-9P 388116-55-0P
388116-56-1P 388116-57-2P, 3-(1H-Indol-2-ylmethylene)-4-(pyridin-4-yl)-1,3-dihydroindol-2-one **388116-58-3P**, 4-(Pyridin-4-yl)-3-(4,5,6,7-tetrahydro-1H-indol-2-ylmethylene)-1,3-dihydroindol-2-one **388116-59-4P**, 3-[5-(2-(Morpholin-4-yl)ethoxy)-1H-indol-2-ylmethylene]-4-(pyridin-4-yl)-1,3-dihydroindol-2-one **388116-60-7P 388116-61-8P 388116-62-9P**
388116-64-1P 388116-65-2P 388116-66-3P
388116-68-5P 388116-70-9P, 3-(5-Methylthiophen-2-ylmethylene)-4-(pyridin-4-yl)-1,3-dihydroindol-2-one **388116-71-0P**, 3-(4-Morpholin-4-ylbenzylidene)-4-(pyridin-4-yl)-1,3-dihydroindol-2-one **388116-72-1P 388116-73-2P 388116-74-3P**
388116-76-5P 388116-79-8P 388116-80-1P, 3-[3-Methyl-4-((piperidin-1-yl)carbonyl)pyrrol-2-ylmethylene]-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388116-81-2P**, 3-[3-Methyl-4-(morpholine-4-carbonyl)pyrrol-2-ylmethylene]-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388116-83-4P 388116-84-5P**
388116-85-6P 388116-86-7P, 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-4-(piperidin-4-yl)-1,3-dihydroindol-2-one
388116-87-8P 388116-88-9P 388116-89-0P
388116-90-3P 388116-91-4P 388116-92-5P
388116-93-6P, 3-(1H-Indol-2-ylmethylene)-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388116-94-7P**, 4-(Piperidin-4-yl)-3-(4,5,6,7-tetrahydro-1H-indol-2-ylmethylene)-1,3-dihydroindol-2-one
388116-95-8P, 3-[5-(2-(Morpholin-4-yl)ethoxy)-1H-indol-2-ylmethylene]-4-(piperidin-4-yl)-1,3-dihydroindol-2-one
388116-96-9P 388116-97-0P 388116-98-1P, 3-[3-(3-Morpholin-4-ylpropyl)-4,5,6,7-tetrahydro-1H-indol-2-ylmethylene]-4-

(piperidin-4-yl)-1,3-dihydroindol-2-one **388116-99-2P** **388117-00-8P**, 3-[(3-Methyl-5-(4-methylpiperazin-1-ylcarbonyl)pyrrol-2-yl)methylene]-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388117-01-9P** **388117-02-0P** **388117-03-1P**, 3-(5-Methylthiophen-2-ylmethylene)-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388117-04-2P**, 3-(4-Morpholin-4-ylbenzylidene)-4-(piperidin-4-yl)-1,3-dihydroindol-2-one **388117-05-3P** **388117-06-4P** **388117-07-5P** **388117-08-6P** **388117-10-0P** **388117-12-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. and use of 4-heteroaryl-3-heteroarylidenyl-2-indolinones and their use as protein kinase inhibitors)

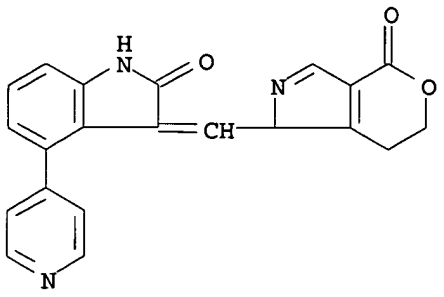
RN 388116-44-7 CAPLUS
CN Piperazine, 1-[[5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



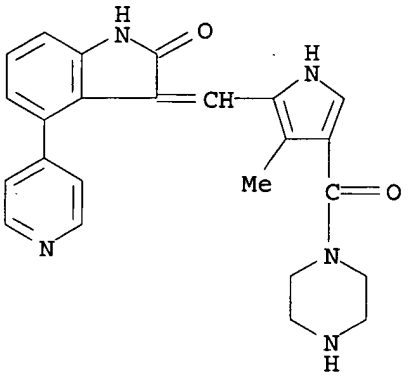
RN 388116-45-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-1H-imidazol-4-yl)methylene]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



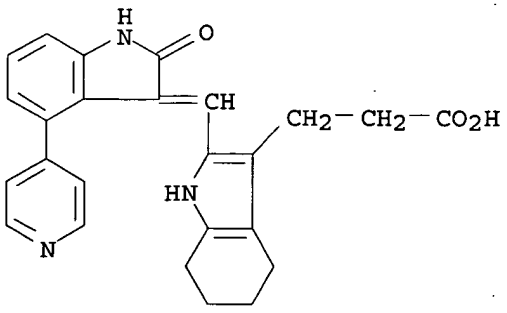
RN 388116-46-9 CAPLUS
CN Pyrano[3,4-c]pyrrol-4(1H)-one, 1-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-6,7-dihydro- (9CI) (CA INDEX NAME)



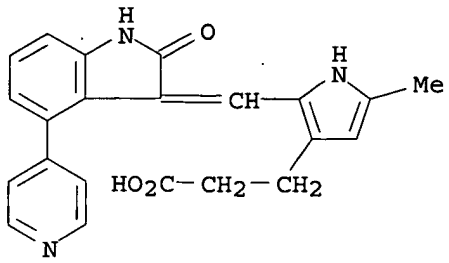
RN 388116-47-0 CAPLUS
CN Piperazine, 1-[[5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



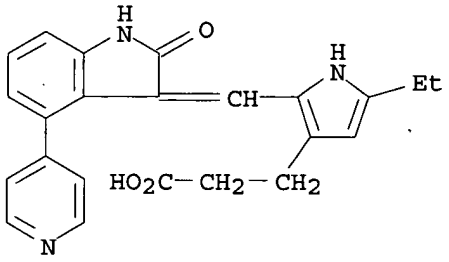
RN 388116-50-5 CAPLUS
CN 1H-Indole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



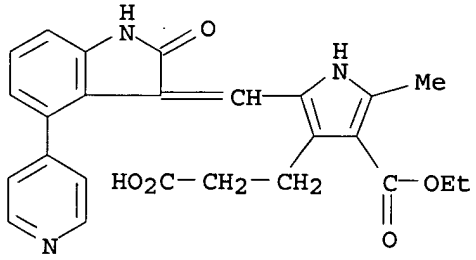
RN 388116-51-6 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)



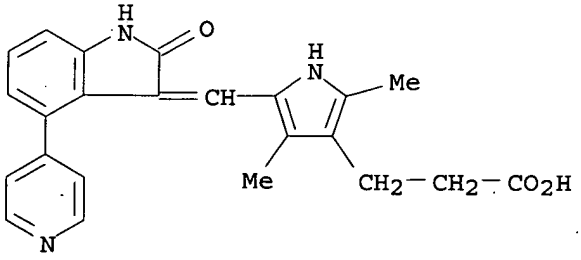
RN 388116-52-7 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-5-ethyl- (9CI) (CA INDEX NAME)



RN 388116-54-9 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-(ethoxycarbonyl)-5-methyl- (9CI) (CA INDEX NAME)



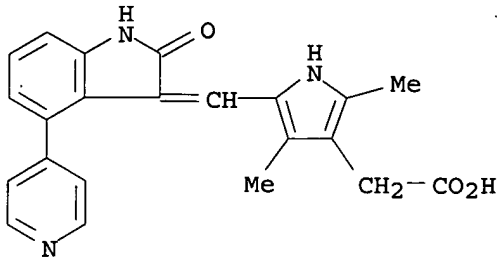
RN 388116-55-0 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



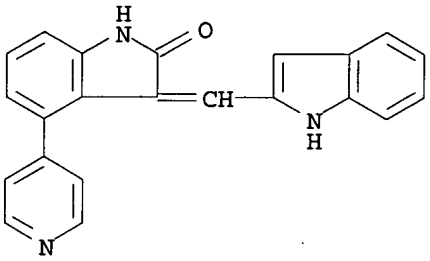
RN 388116-56-1 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-

10/ 053,168

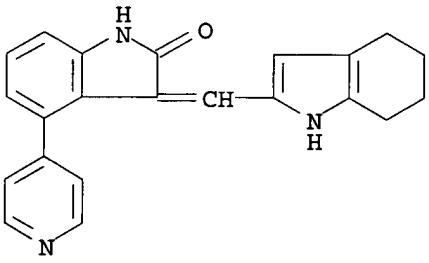
ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



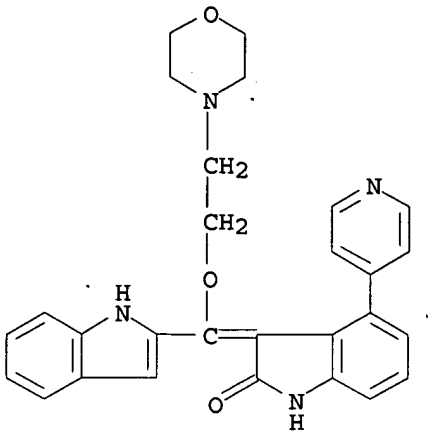
RN 388116-57-2 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-(1H-indol-2-ylmethylene)-4-(4-pyridinyl)-
(9CI) (CA INDEX NAME)



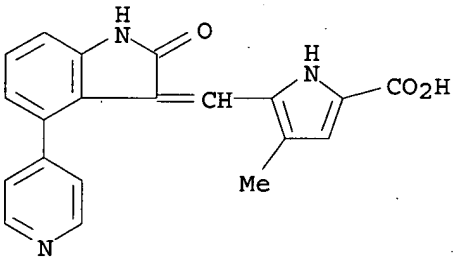
RN 388116-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(4-pyridinyl)-3-[(4,5,6,7-tetrahydro-1H-
indol-2-yl)methylene]- (9CI) (CA INDEX NAME)



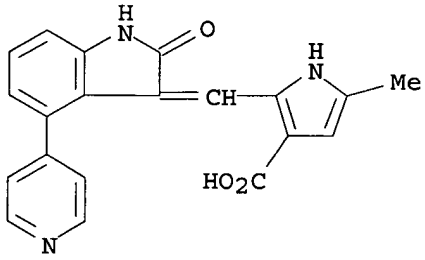
RN 388116-59-4 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[1H-indol-2-yl[2-(4-
morpholinyl)ethoxy]methylene]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



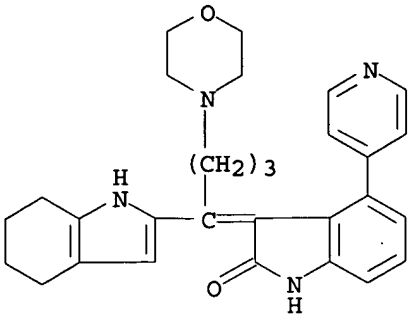
RN 388116-60-7 CAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)



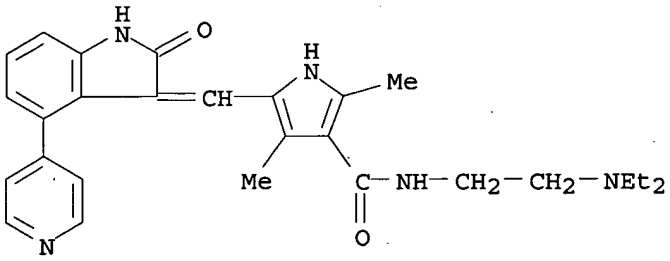
RN 388116-61-8 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)



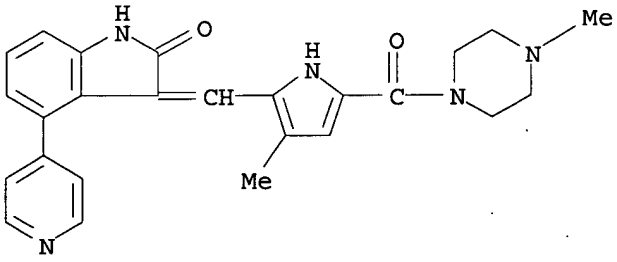
RN 388116-62-9 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[4-(4-morpholinyl)-1-(4,5,6,7-tetrahydro-1H-indol-2-yl)butylidene]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



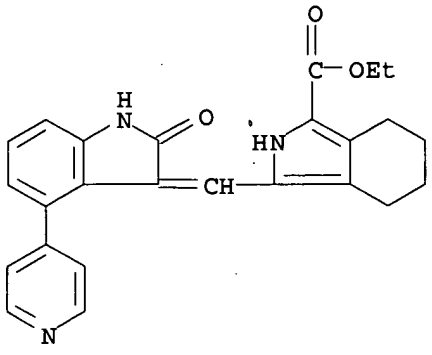
RN 388116-64-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



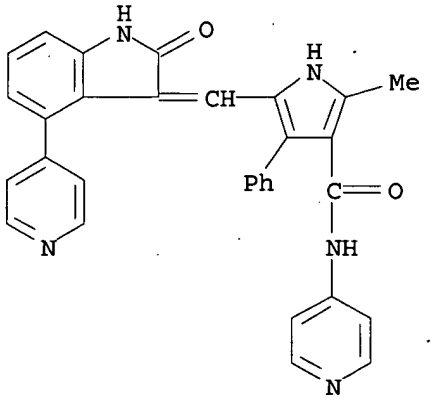
RN 388116-65-2 CAPLUS
CN Piperazine, 1-[[5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



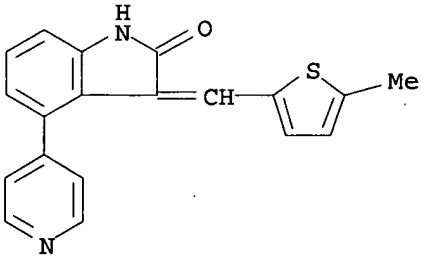
RN 388116-66-3 CAPLUS
CN 2H-Isoindole-1-carboxylic acid, 3-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4,5,6,7-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



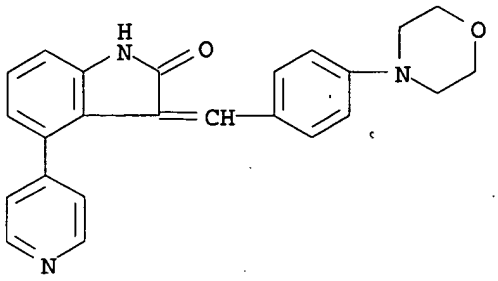
RN 388116-68-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-2-methyl-4-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



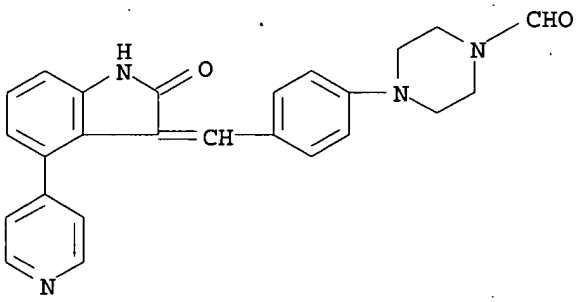
RN 388116-70-9 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-2-thienyl)methylene]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



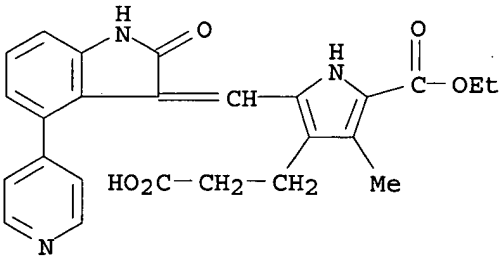
RN 388116-71-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[4-(4-morpholinyl)phenyl]methylene]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



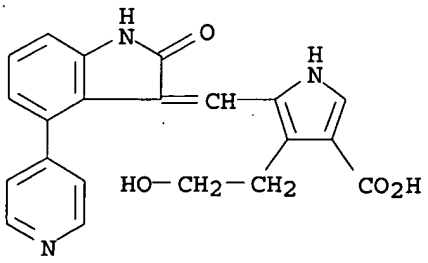
RN 388116-72-1 CAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[4-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 388116-73-2 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-5-(ethoxycarbonyl)-4-methyl- (9CI) (CA INDEX NAME)

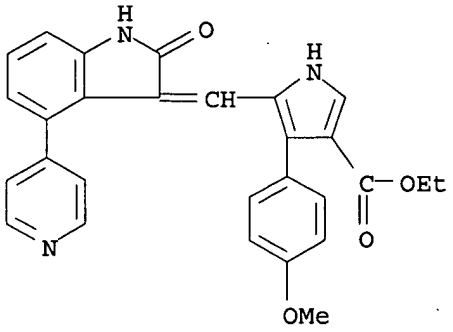


RN 388116-74-3 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



10/ 053,168

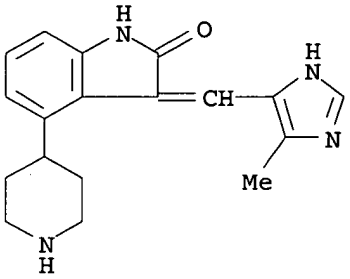
RN 388116-76-5 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-pyridinyl)-3H-indol-3-ylidene]methyl]-4-(4-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 388116-79-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-1H-imidazol-4-yl)methylene]-4-(4-piperidinyl)-, monoacetate (9CI) (CA INDEX NAME)

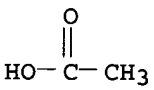
CM 1

CRN 388116-78-7
CMF C18 H20 N4 O

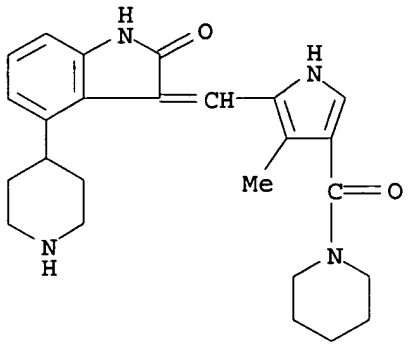


CM 2

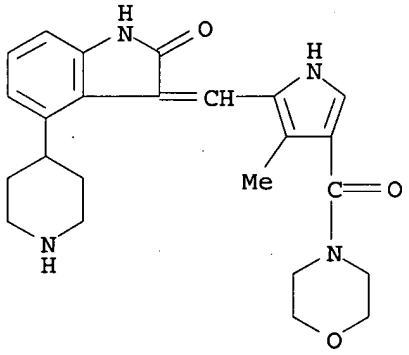
CRN 64-19-7
CMF C2 H4 O2



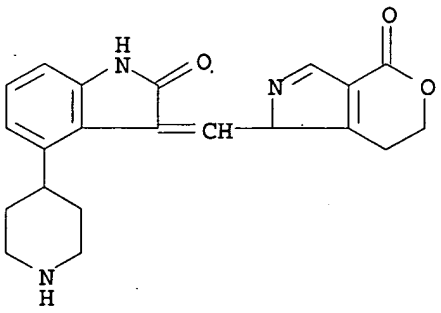
RN 388116-80-1 CAPLUS
CN Piperidine, 1-[[5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



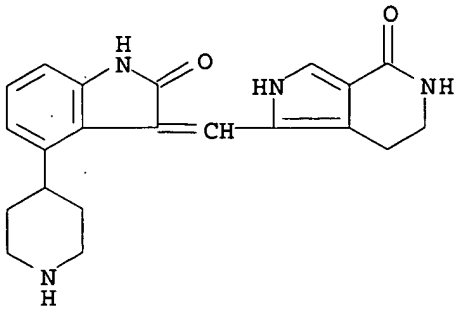
RN 388116-81-2 CAPLUS
CN Morpholine, 4-[[5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



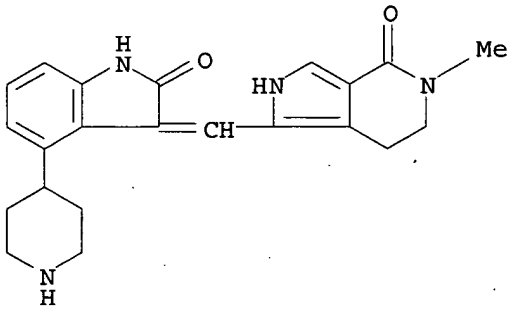
RN 388116-83-4 CAPLUS
CN Pyrano[3,4-c]pyrrol-4(1H)-one, 1-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-6,7-dihydro- (9CI) (CA INDEX NAME)



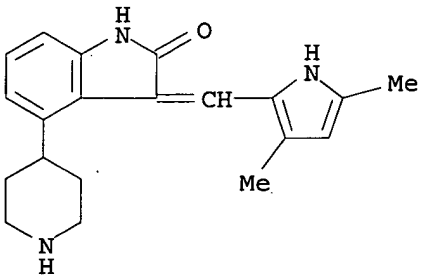
RN 388116-84-5 CAPLUS
CN 4H-Pyrrolo[3,4-c]pyridin-4-one, 1-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



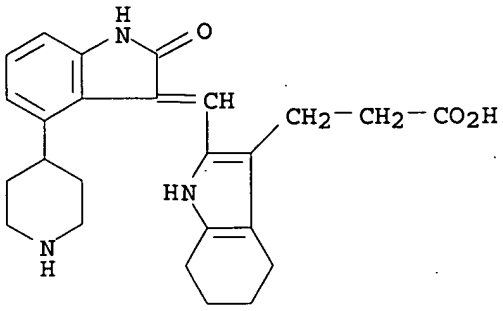
RN 388116-85-6 CAPLUS
CN 4H-Pyrrolo[3,4-c]pyridin-4-one, 1-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



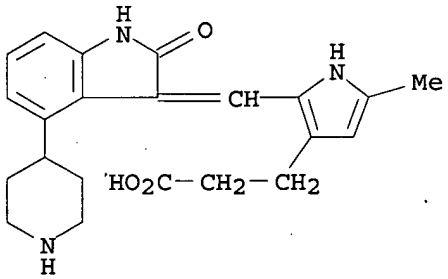
RN 388116-86-7 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



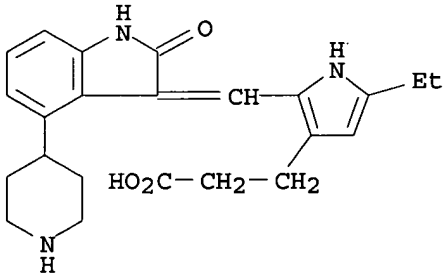
RN 388116-87-8 CAPLUS
CN 1H-Indole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



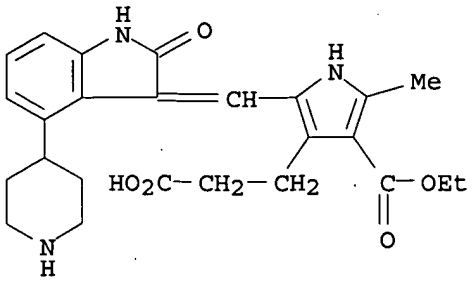
RN 388116-88-9 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)



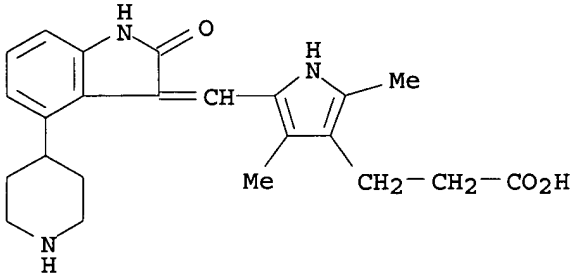
RN 388116-89-0 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-5-ethyl- (9CI) (CA INDEX NAME)



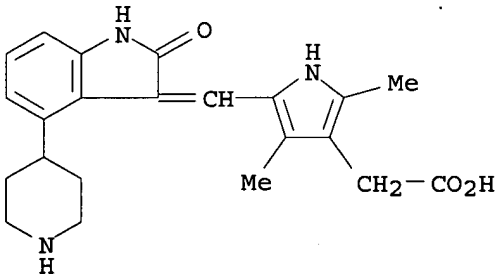
RN 388116-90-3 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-(ethoxycarbonyl)-5-methyl- (9CI) (CA INDEX NAME)



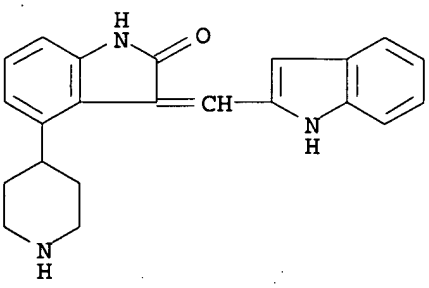
RN 388116-91-4 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 388116-92-5 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 388116-93-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-(1H-indol-2-ylmethylene)-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



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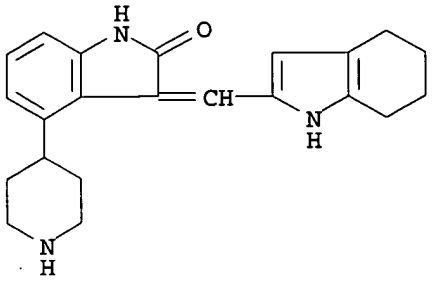
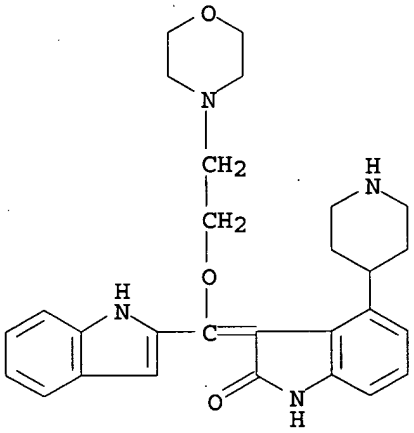
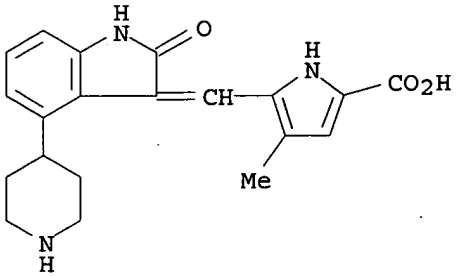
RN 388116-94-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(4-piperidinyl)-3-[(4,5,6,7-tetrahydro-1H-indol-2-yl)methylene]- (9CI) (CA INDEX NAME)



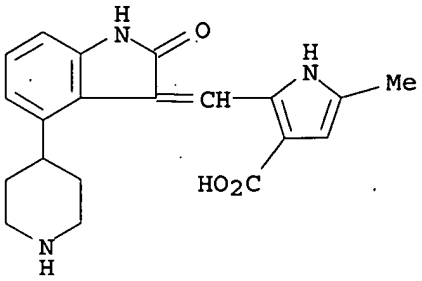
RN 388116-95-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[1H-indol-2-yl[2-(4-morpholinyl)ethoxy]methylene]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



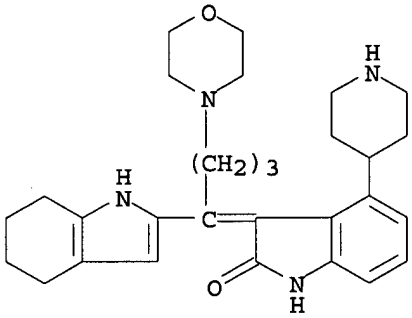
RN 388116-96-9 CAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)



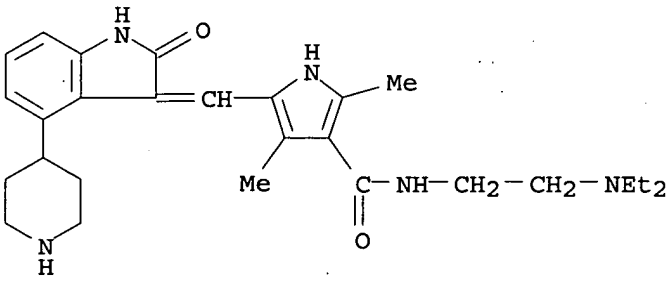
RN 388116-97-0 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)



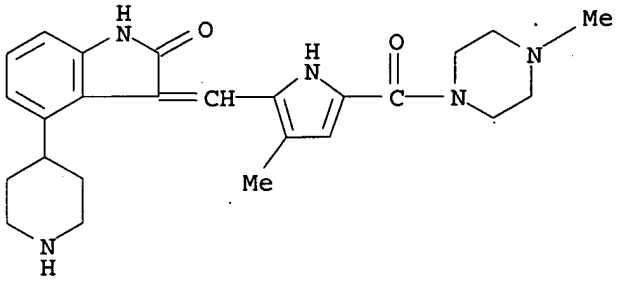
RN 388116-98-1 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[4-(4-morpholinyl)-1-(4,5,6,7-tetrahydro-1H-indol-2-yl)butylidene]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



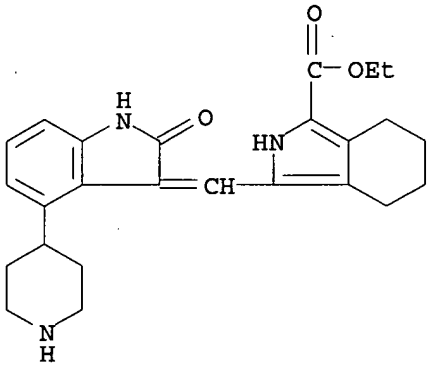
RN 388116-99-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



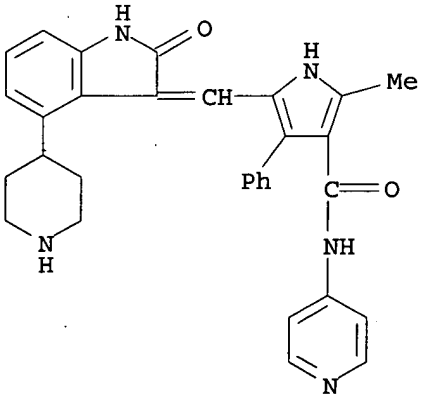
RN 388117-00-8 CAPLUS
CN Piperazine, 1-[[5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



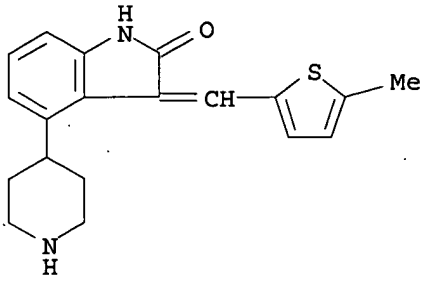
RN 388117-01-9 CAPLUS
CN 2H-Isoindole-1-carboxylic acid, 3-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4,5,6,7-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



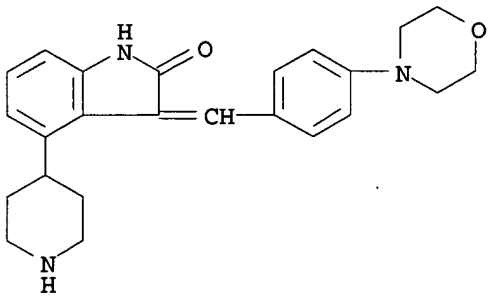
RN 388117-02-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-2-methyl-4-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



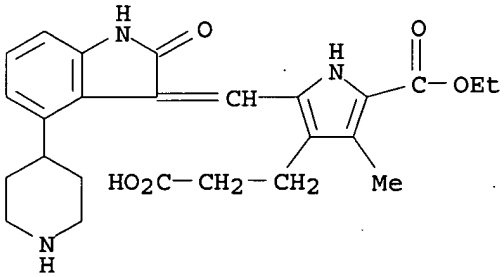
RN 388117-03-1 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-2-thienyl)methylene]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



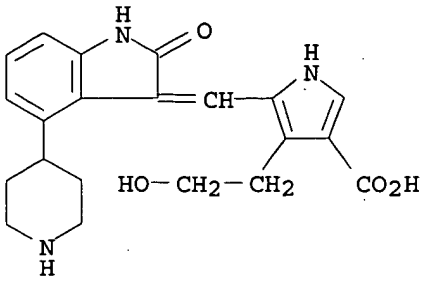
RN 388117-04-2 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[4-(4-morpholinyl)phenyl]methylene]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



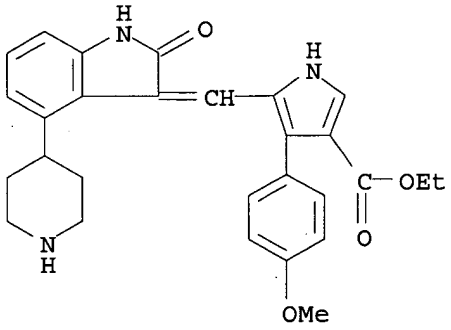
RN 388117-05-3 CAPLUS
CN 1H-Pyrrole-3-propanoic acid, 2-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-5-(ethoxycarbonyl)-4-methyl- (9CI) (CA INDEX NAME)



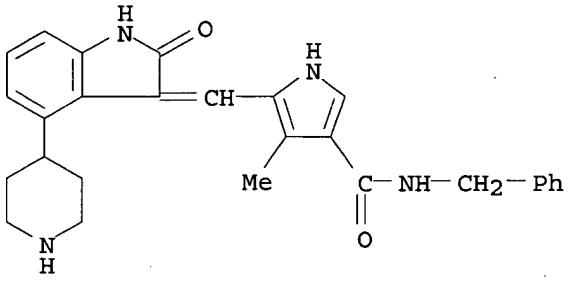
RN 388117-06-4 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



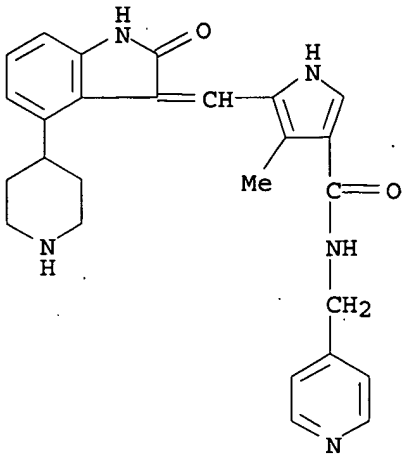
RN 388117-07-5 CAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-(4-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



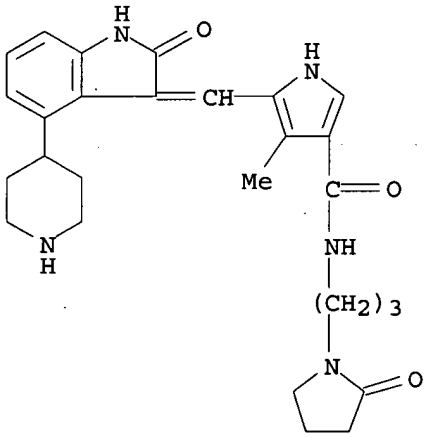
RN 388117-08-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



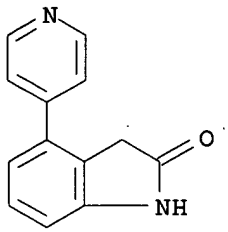
RN 388117-10-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



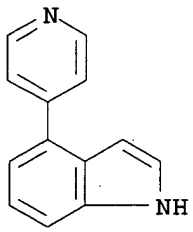
RN 388117-12-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[[1,2-dihydro-2-oxo-4-(4-piperidinyl)-3H-indol-3-ylidene]methyl]-4-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



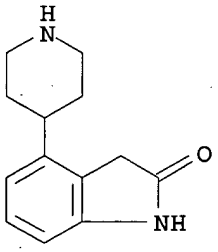
IT **388116-26-5P**, 4-(Pyridin-4-yl)-1,3-dihydroindol-2-one
388116-28-7P, 4-(Pyridin-4-yl)-1H-indole **388116-29-8P**,
4-(Piperidin-4-yl)-1,3-dihydroindol-2-one **388116-31-2P**,
4-(1-Methylpiperidin-4-yl)-1,3-dihydroindol-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. and use of 4-heteroaryl-3-heteroarylidenyl-2-indolinones and their use as protein kinase inhibitors)
RN 388116-26-5 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



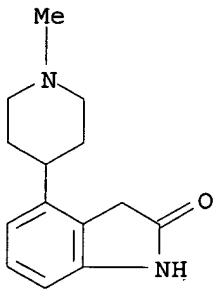
RN 388116-28-7 CAPLUS
CN 1H-Indole, 4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 388116-29-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 388116-31-2 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



IT **388116-49-2P**, 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-4-(pyridin-4-yl)-1,3-dihydroindol-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of 4-heteroaryl-3-heteroarylidenyl-2-indolinones and

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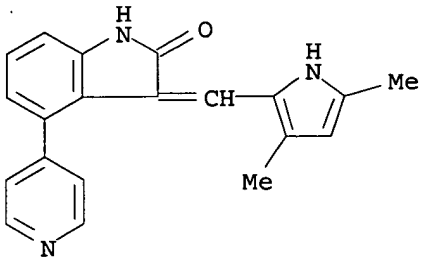
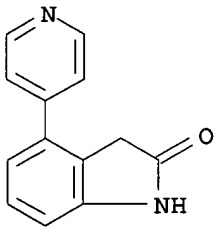
their use as protein kinase inhibitors)
RN 388116-49-2 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



IT **388116-30-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. and use of 4-heteroaryl-3-heteroarylidenyl-2-indolinones and their use as protein kinase inhibitors)
RN 388116-30-1 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-4-(4-pyridinyl)-, monoacetate (9CI) (CA INDEX NAME)

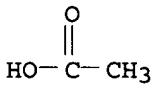
CM 1

CRN 388116-26-5
CMF C13 H10 N2 O



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:10464 CAPLUS
DOCUMENT NUMBER: 136:85825
TITLE: Preparation of piperazinyl(or piperidinyl)-substituted indole derivatives for the treatment of CNS disorders
INVENTOR(S): Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.

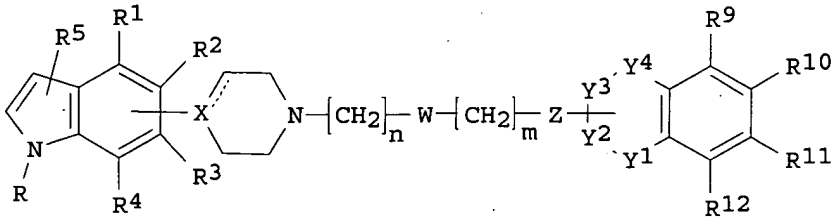
10/ 053,168

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000645	A1	20020103	WO 2001-DK407	20010613
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299380	A1	20030409	EP 2001-940241	20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002006029	A	20021216	NO 2002-6029	20021216

PRIORITY APPLN. INFO.: DK 2000-1018 A 20000629
WO 2001-DK407 W 20010613

OTHER SOURCE(S): MARPAT 136:85825
GI



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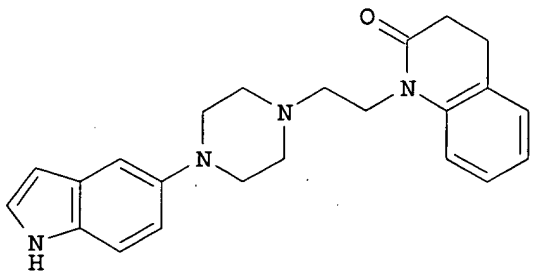


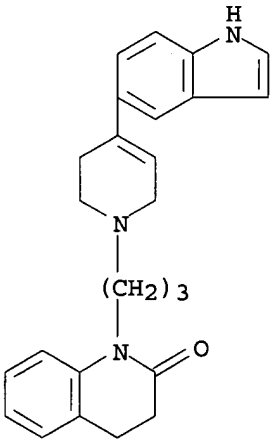
II

AB The title compds. [I; Y1 = N, which is bound to Z, Z and Y2 = CH2, CO, CS, SO and SO2, Y3 = O, S, CHR7, Y4 = O, S, CHR8; or Y2 = N, which is bound to Z, Z and Y1 = CH2, CO, CS, SO and SO2, Y3 = CHR7, Y4 = O, S, CHR8; or Y2 = N, which is bound to Z, Z and Y3 = CH2, CO, CS, SO and SO2, Y1 = CHR6, Y4 = O, S, CHR8; W = a bond, O, S, CO, CS, SO, SO2; X = C, CH, N; n = 0-5; m = 0-5; n + m = 1-6; one of R1-R4 forms a bond to X and the others of R1-R4 and R5 and R9-R12 = H, halo, CN, etc.; R6-R8 = H, halo; R = H, alkyl,

acyl, etc.] and their pharmaceutically acceptable salts which are dopamine and serotonin receptor ligands, and therefore useful in the treatment of certain psychiatric and neurol. disorders, i. e. schizophrenia and other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepd. and formulated. Thus, reacting 5-(piperazin-1-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-2(1H)-one (prepns. given) in the presence of LiBr, Et3N and DMF in THF and butanone afforded II.oxalate which showed 90% inhibition of the binding of [3H]YM-09151-2 to human dopamine D4,2 receptors at 50 nM, and IC50 of 29 nM against 5-HT2A binding.

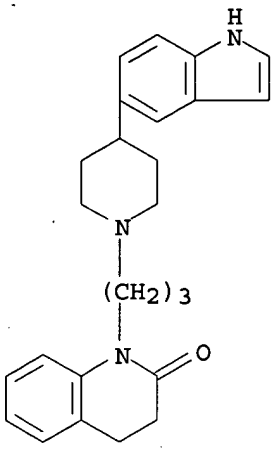
IT **385815-21-4P 385815-22-5P 385815-32-7P**
385815-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinyl(or piperidinyl)-substituted indole derivs. for the treatment of CNS disorders)

RN 385815-21-4 CAPLUS
CN 2(1H)-Quinolinone, 1-[3-[3,6-dihydro-4-(1H-indol-5-yl)-1(2H)-pyridinyl]propyl]-3,4-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



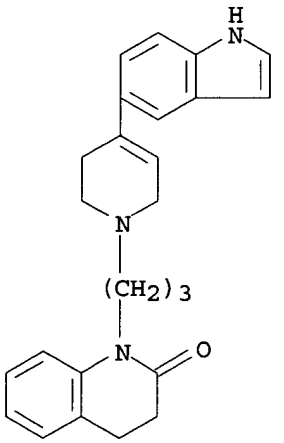
x HCl

RN 385815-22-5 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[4-(1H-indol-5-yl)-1-piperidinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



x HCl

RN 385815-32-7 CAPLUS
CN 2(1H)-Quinolinone, 1-[3-[3,6-dihydro-4-(1H-indol-5-yl)-1(2H)-pyridinyl]propyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 385815-33-8 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[4-(1H-indol-5-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

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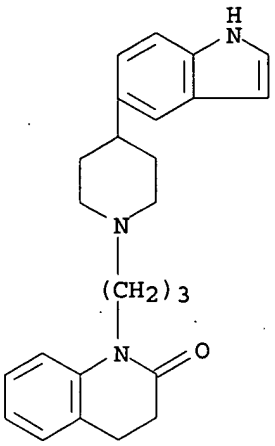
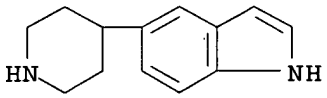


IT **383861-22-1P**, 5-(Piperidin-4-yl)-1H-indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperazinyl(or piperidinyl)-substituted indole derivs. for the treatment of CNS disorders)
RN 383861-22-1 CAPLUS
CN 1H-Indole, 5-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

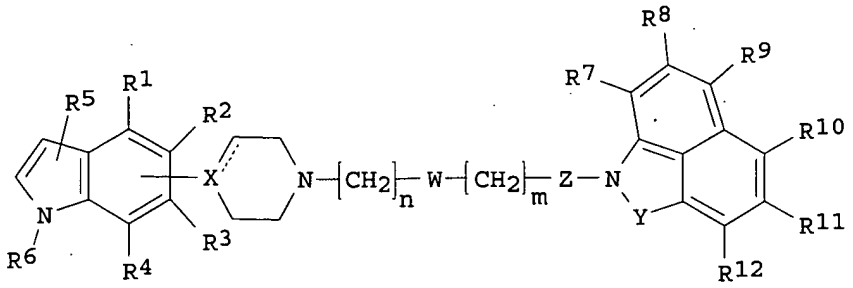
L3 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935601 CAPLUS
DOCUMENT NUMBER: 136:69822
TITLE: Preparation of indole derivatives for the treatment of CNS disorders
INVENTOR(S): Bang-Andersen, Benny; Larsen, Krestian; Kehler, Jan
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098298	A1	20011227	WO 2001-DK408	20010613

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1299384 A1 20030409 EP 2001-940242 20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2002006028 A 20021216 NO 2002-6028 20021216
PRIORITY APPLN. INFO.: DK 2000-957 A 20000619
US 2000-212532P P 20000620
WO 2001-DK408 W 20010613
OTHER SOURCE(S): MARPAT 136:69822

GI



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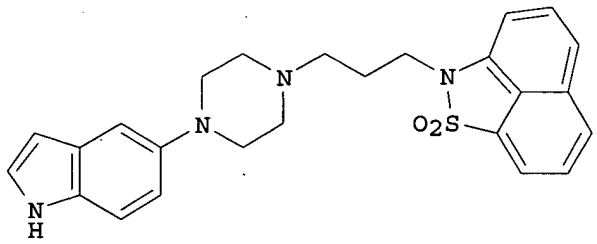


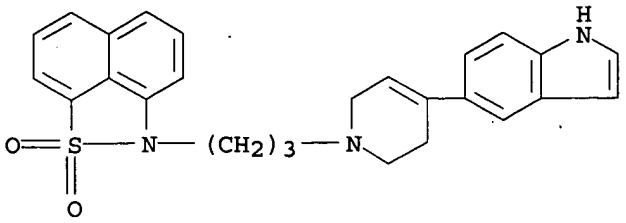
II

AB The title compds. [I; Y = CO, CS, SO, SO2, CH2; Z = CO, CS, SO, SO2, CH2 (provided that only one of Y and Z = CO, CS, SO, SO2); W = a bond, O, S, CO, CS, SO, SO2; n = 0-5; m = 0-5 (n + m = 1-6); X = N, CH, C; R1-R5, R7-R12 = H, halo, CN, etc.; R6 = H, alkyl, alkenyl, etc.] which are dopamine and serotonin receptor ligands, and therefore are useful in the treatment of certain psychiatric and neurol. disorders, i. e. schizophrenia and other psychoses, anxiety disorders, depression, migraine, cognitive disorders, attention deficit hyperactivity disorder (ADHD) and sleep improvement, were prepd. and formulated. Thus, reacting 5-(piperazin-1-yl)-1H-indole with 2-(3-bromopropan-1-yl)-2H-naphtho[1,8-cd]isothiazole 1,1-dioxide (prepns. given) in DMF and butanone afforded II.HCl which showed IC50 of 1.9 nM and 0.79 nM against D4 binding and 5-HT2A binding, resp.

IT **383861-14-1P 383861-15-2P 383861-17-4P 383861-18-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole derivs. for the treatment of CNS disorders)

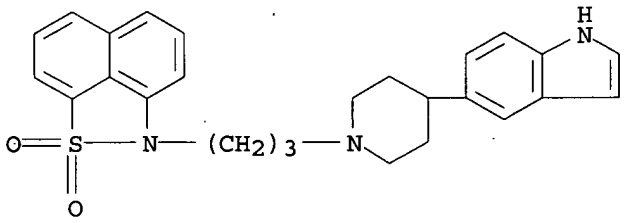
RN 383861-14-1 CAPLUS

CN 2H-Naphth[1,8-cd]isothiazole, 2-[3-[3,6-dihydro-4-(1H-indol-5-yl)-1(2H)-pyridinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



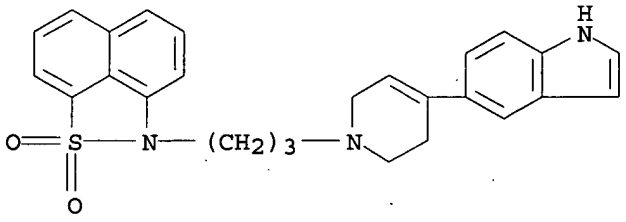
● HCl

RN 383861-15-2 CAPLUS
CN 2H-Naphth[1,8-cd]isothiazole, 2-[3-[4-(1H-indol-5-yl)-1-piperidinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

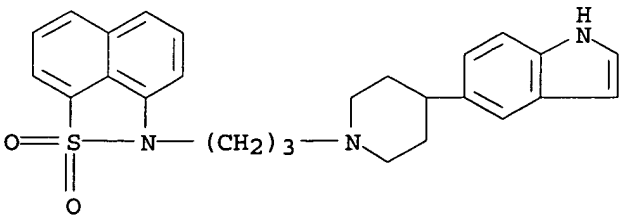


● HCl

RN 383861-17-4 CAPLUS
CN 2H-Naphth[1,8-cd]isothiazole, 2-[3-[3,6-dihydro-4-(1H-indol-5-yl)-1(2H)-pyridinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

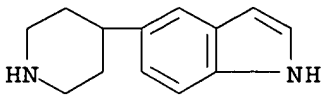


RN 383861-18-5 CAPLUS
CN 2H-Naphth[1,8-cd]isothiazole, 2-[3-[4-(1H-indol-5-yl)-1-piperidinyl]propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



10/ 053,168

IT **383861-22-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indole derivs. for the treatment of CNS disorders)
RN 383861-22-1 CAPLUS
CN 1H-Indole, 5-(4-piperidinyl)- (9CI) (CA INDEX NAME)

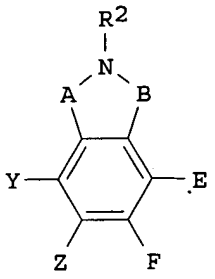


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:833276 CAPLUS
DOCUMENT NUMBER: 135:371989
TITLE: Preparation of novel multicyclic compounds and their amino acid derivatives as inhibitors of enzymes such as poly(ADP-ribose) polymerase
INVENTOR(S): Ator, Mark A.; Bihovsky, Ron; Chatterjee, Sankar; Dunn, Derek; Hudkins, Robert L.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085686	A2	20011115	WO 2001-US14996	20010509
WO 2001085686	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028815	A1	20020307	US 2001-850858	20010508
EP 1294725	A2	20030326	EP 2001-935215	20010509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002005376	A	20030108	NO 2002-5376	20021108

PRIORITY APPLN. INFO.: US 2000-202947P P 20000509
US 2001-850858 A 20010508
WO 2001-US14996 W 20010509
OTHER SOURCE(S): MARPAT 135:371989
GI



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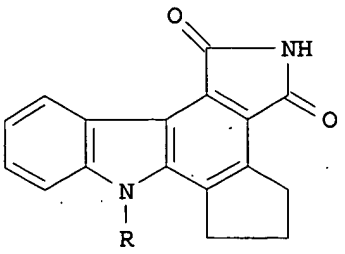

II

AB The title compds. such as penta[a]pyrrolo[3,4-c]carbazole, hexano[a]pyrrolo[3,4-c]carbazole, pyrrolo[3,4-c]carbazole, and furano[a-3,2]pyrrolo[3,4-c]carbazole derivs. [I; A, B = CO, CH(OR3), CH(SR3), CH2, CHR3, CHR3CHR4, CR3R4, COR3, N:CR3, SO, SO2 (wherein R3, R4 = H, optionally substituted lower alkyl or aryl); Y and Z, together with the carbon to which they are attached, form an (un)substituted mono- or bicyclic aryl or bicyclic heteroaryl, or C3-5 heteroaryl; E, F = lower alkyl or E and F, together with the carbon to which they are attached, form an (un)substituted C4-7 cycloalkyl, C3-6 heterocycloalkyl or heteroaryl, or an (un)substituted heterocycloalkyl endocyclically comprising at least one group G (wherein G = O, S, SO, SO2, NR2, NR2CO, NR2CONR3, NR2SO2, NR3SO2; R2 = H, optionally substituted lower alkyl or alkanoyl, CHO, acetyl, lower alkylsulfonyl, arylsulfonyl, an optionally protected amino acid)] are prepd. These compds. are effective in the treatment of diseases or disease states related to the activity of enzymes such as poly(ADP-ribose) polymerase (PARP), vascular endothelial growth factor receptor kinase (VEGFR2 kinase), and MLK3 kinase (a member of the mixed lineage kinase family), including, for example, traumatic central nervous system injuries, neurodegenerative diseases (in particular Parkinson's, Huntington's, or Alzheimer's disease), inflammation, cerebral or cardiac ischemia, endotoxic shock, diabetes, or cellular proliferative disorders (in particular cancer, solid tumors, diabetic retinopathy, intraocular neovascular syndromes, macular degeneration, rheumatoid arthritis, psoriasis, or endometriosis). They also suppress the formation of blood vessels (angiogenesis) and prevent neuronal degrdn. assocd. with traumatic central nervous system injuries. Thus, 2H-1,3,4,5,6,7-hexahydrocyclopenta[a]pyrrolo[3,4-c]carbazole-1,3-dione (II; R = H) (prepn. given) was treated with NaH in DMF at room temp. for 30 min and condensed with a stirred mixt. of Boc-Lys(Boc)-OH dicyclohexylamine salt, TBTU, N-Methylmorpholine, and DMF at room temp. for 1 h, followed by treatment of the product with 4 N HCl in dioxane to give II (R = H-Lys). II (R = H-Lys) showed IC50 of .mu.g/mL against of 22 nM against PARP.

IT **374071-32-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

RN 374071-32-6 CAPLUS

CN Pyrido[4,3-a]pyrrolo[3,4-c]carbazole-1,3(2H,8H)-dione, 11-(4-pyridinyl)- (9CI) (CA INDEX NAME)

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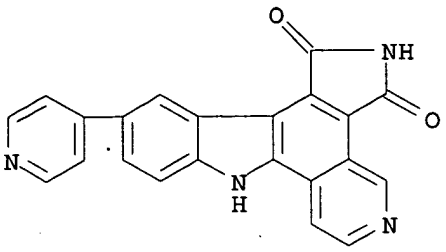
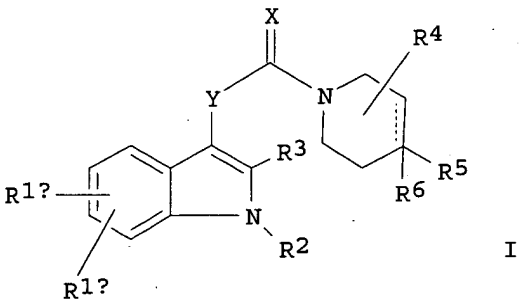


L3 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:817246 CAPLUS
DOCUMENT NUMBER: 135:357843
TITLE: Preparation of 2-Aryl indole derivatives for use as tachykinin receptor antagonists
INVENTOR(S): Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John; Ridgill, Mark Peter; Shaw, Duncan Edward
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001039286	A1	20011108	US 2001-782422	20010213
PRIORITY APPLN. INFO.:			GB 2000-3397 A	20000214

OTHER SOURCE(S): MARPAT 135:357843
GI



I

AB 2-Aryl indole derivs. I (wherein R1a, R1b, and R2 = a variety of substituents; R3 = optionally substituted Ph, biphenyl or naphthyl or heteroaryl group; R4 = H, (C1-6)alkyl, carbonyl (=O), (CH2)pphenyl or a (C1-2)alkylene bridge across the piperidine ring; R5 and R6 = variety of substituents; or R5 and R6 together are linked so as to form an optionally substituted 5-or 6-membered ring; X = O or S, two H atoms, boxHNH or boxHN(C1-6 alkyl); Y = straight or branched (C1-4)alkylene, (C2-4)alkenylene or (C2-4)alkynylene chain; the dotted line represents an optional double bond; m = 0,1,2,3,4; n = 1,2,3,4; and p = 1,2,3,4), or a pharmaceutically acceptable salt thereof, were prepd., and their use as tachykinin receptor antagonists evaluated. Thus, diisopropylethylamine and bromoacetonitrile were added to a loaded resin (synthetic prepn. given) in N-methylpyrrolidinone, to which was added a soln. of

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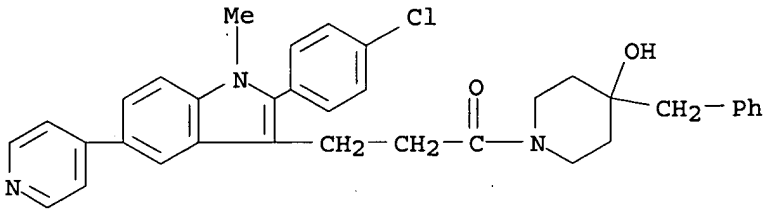
6-(methylsulfonyl)spiro-[2H-1-benzopyran-2,4'-piperidin]-4(3H)-one in THF to give 1'-{3-[5-chloro-2-(4-chlorophenyl)-1H-indol-3-yl]-1-oxopropyl}-6-(methylsulfonyl)spiro(2H-1-benzopyran-2,4'-piperidin)-4(3H)-one. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia. Biol. data are given.

IT **371970-19-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl indole derivs. as tachykinin receptor antagonists for treatment for)

RN 371970-19-3 CAPLUS

CN 4-Piperidinol, 1-[3-[2-(4-chlorophenyl)-1-methyl-5-(4-pyridinyl)-1H-indol-3-yl]-1-oxopropyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:730745 CAPLUS

DOCUMENT NUMBER: 135:288799

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-[1,4]diazepino[1,7-a]indoles as 5-HT receptor antagonists for treatment of CNS disorders

INVENTOR(S): Ennis, Michael Dalton; Hoffman, Robert Louis; Ghazal, Nabil B.; Olson, Rebecca M.

PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA

SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072752	A2	20011004	WO 2001-US4950	20010308
WO 2001072752	A3	20030417		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002002161	A1	20020103	US 2001-803242	20010308

PRIORITY APPLN. INFO.: US 2000-189103P P 20000314

OTHER SOURCE(S): MARPAT 135:288799

GI

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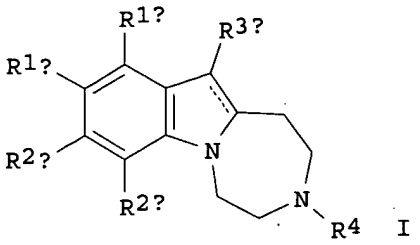

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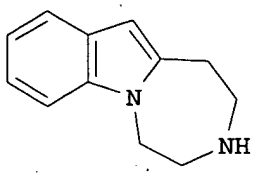
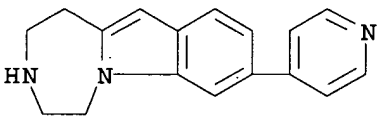


II

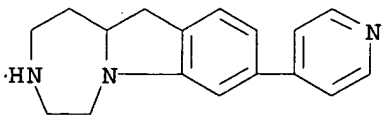
AB Title compds. I [wherein R1a, R1b, R2a, and R2b = independently (a) H, halo, CN, CF3, OCF3, OR5, CONR5R6, COR5, CO2R5, Y(CH2)mXR5, YCO(CH2)mXR5; m = 0-3; Y = CH2, S, O, or NR6; X = CH2, S, O, NR6; (b) (CH2)pAr; p = 0-3; Ar = (un)substituted (hetero)aryl or (c) (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or (cyclo)alkynyl; R3 = (a) H, halo, CN, CF3, OCF3, alkyl, Ar, OR5, SR5, CHO, CONR5R6, COR5, CO2R5, Yo(CH2)nXR5, COCONXR5, Yo(CH2)nN(R6)CONR5R6; o = 0 or 1; n = 0-3; X = CH, S, O, or NR6; Y = CH, S, O or NR6; Ar = (un)substituted (hetero)aryl; (b) (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or (cyclo)alkynyl; R4, R5, and R6 = independently (a) H or (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or (cyclo)alkynyl; (b) (CH2)pAr; p = 0-3; Ar = (un)substituted (hetero)aryl; or stereoisomers or pharmaceutically acceptable salts thereof] were prepd. For example, 2,3,4,5-tetrahydro-1H-[1,4]diazepino[1,7-a]indole.bul.HCl (II.bul.HCl) was prepd. in a multi-step synthesis starting from Et H malonate and 2-nitrophenylacetic acid and involving the cyclization of the Et [1-(2-bromoethyl)-2,3-dihydro-1H-indol-2-yl]acetate intermediate to the tetrahydro-1H-[1,4]diazepino[1,7]indol-2(3H)-one. I are useful as 5-HT receptor antagonists for the treatment of a variety of central nervous system disorders (no data).

IT **364347-16-0P 364348-26-5P 364349-42-8P**
364350-49-2P 364351-57-5P 364352-67-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1H-[1,4]diazepino[1,7-a]indoles as 5-HT receptor inhibitors for treatment of CNS disorders)

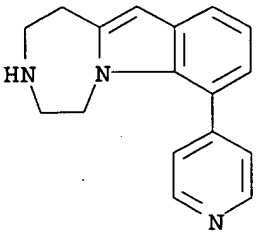
RN 364347-16-0 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5-tetrahydro-8-(4-pyridinyl)- (9CI) (CA INDEX NAME)



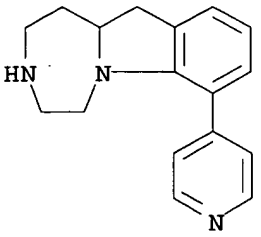
RN 364348-26-5 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5,11,11a-hexahydro-8-(4-pyridinyl)- (9CI) (CA INDEX NAME)



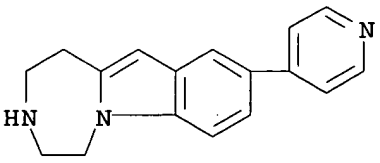
RN 364349-42-8 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5-tetrahydro-7-(4-pyridinyl)- (9CI) (CA INDEX NAME)



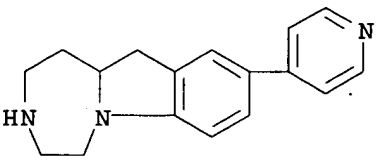
RN 364350-49-2 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5,11,11a-hexahydro-7-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 364351-57-5 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5-tetrahydro-9-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 364352-67-0 CAPLUS
CN 1H-[1,4]Diazepino[1,7-a]indole, 2,3,4,5,11,11a-hexahydro-9-(4-pyridinyl)-(9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:709746 CAPLUS
DOCUMENT NUMBER: 135:257261
TITLE: Preparation of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.
INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak, Adrien

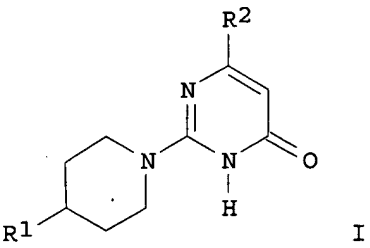
10/ 053,168

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136489	A1	20010926	EP 2000-400802	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070728	A1	20010927	WO 2001-EP3639	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
EP 2000-400801 A 20000323
EP 2000-400802 A 20000323
EP 2000-400803 A 20000323

OTHER SOURCE(S): MARPAT 135:257261
GI



I

AB The title compds. [I; R1 = (un)substituted aryl, heterocyclic ring having 1-4 hetero atoms selected from O, S, and N atoms, (un)substituted alkyl; R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their salts, useful for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta., such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathy, were prepd. and formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was given. All exemplified compds. I showed IC50's of 0.5-10 .mu.M against GSK3.beta..

IT **362467-54-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.)

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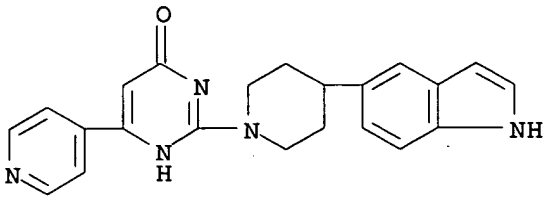
RN 362467-54-7 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[4-(1H-indol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)-
(9CI) (CA INDEX NAME)



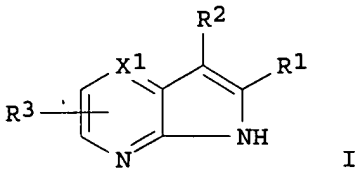
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489395 CAPLUS
DOCUMENT NUMBER: 135:92651
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew David; Amendola, Shelley; Deprets, Stephanie; Edlin, Chris
PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK
SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047922	A2	20010705	WO 2000-GB4993	20001227
WO 2001047922	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1263759	A2	20021211	EP 2000-985695	20001227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017038	A	20030107	BR 2000-17038	20001227
BG 106836	A	20030430	BG 2002-106836	20020618
NO 2002003032	A	20020621	NO 2002-3032	20020621

PRIORITY APPLN. INFO.: GB 1999-30698 A 19991224
US 2000-215818P P 20000705
WO 2000-GB4993 W 20001227

OTHER SOURCE(S): MARPAT 135:92651
GI



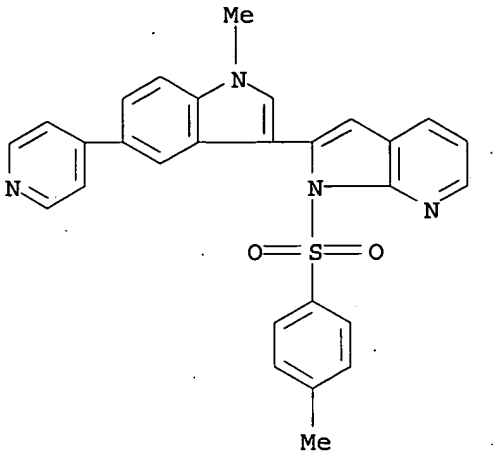
I

AB The invention is directed to compns. contg. physiol. active compds. of general formula [I; wherein R1 is (un)substituted aryl or heteroaryl; R2 represents hydrogen, acyl, cyano, halo, lower alkenyl or lower alkyl optionally substituted by a substituent selected from cyano, heteroaryl, heterocycloalkyl, -Z1R8, -CONY3Y4,-CO2R8,-NY3Y4, -N(R6)COR7, -N(R6)CONY3Y4, -N(R6)CO2R7, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and one or more halogen atoms; R3 represents hydrogen, aryl, cyano, halo, heteroaryl, lower alkyl, -CO2R5 or -CONY3Y4; and X1 represents N, CH, C-halo, C-CN, C-R7, C-NY3Y4, C-OH, C-Z2R7, C-CO2R5, C-CONY3Y4, C-N(R8)COR7, C-SO2NY3Y4, C-N(R8)SO2R7, C-alkenyl, C-alkynyl or C-NO2; wherein R5 represents hydrogen, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl; R6 represents hydrogen or lower alkyl; R7 represents alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 represents hydrogen or lower alkyl; represents; Y3 and Y4 are independently hydrogen, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 represents O or S; Z2 represents O or S(O)n; n is zero or an integer 1 or 2] and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. These compds. have valuable pharmaceutical properties, in particular the ability to inhibit protein kinases, esp. Syk kinase, and are useful for the treatment of asthma, psoriasis, joint inflammation, and inflammatory bowel disease. Thus, a stirred soln. of diisopropylamine (59.9 mL) in THF (1,400 mL), at -15 .degree.C and under nitrogen, was treated with a soln. of n-butyllithium in hexanes (131 mL, 1.6 M) over 25 min at <-10.degree.. After stirring for 30 min the mixt. was treated with methylpyrazine (26.8 g) over 15 min, then stirred for 1 h and then treated with a soln. of 5-methoxy-1-methyl-1H-indole-3-carbonitrile (53 g) in THF (600 mL) over 1 h at <-10.degree., and the reaction mixt. was allowed to warm to room temp. over 2 h and then stood overnight to give, after workup and flash chromatog., 6-(5-Methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine (19.4 g) as a gray solid. I showed IC50 of 10-100 nM against Syk kinase.

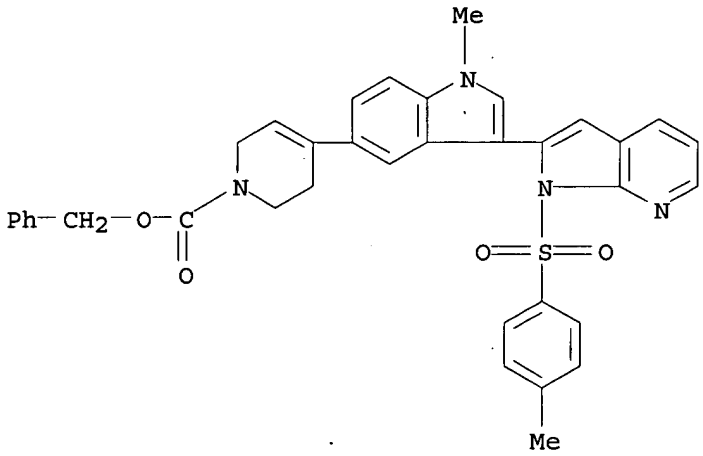
IT **348639-46-3P 348640-91-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of azaindoles as protein kinase inhibitors)

RN 348639-46-3 CAPLUS

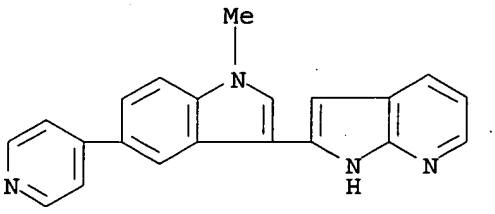
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-methylphenyl)sulfonyl]-2-[1-methyl-5-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



RN 348640-91-5 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-4-[1-methyl-3-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-indol-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **348639-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azaindoles as protein kinase inhibitors)
RN 348639-47-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-[1-methyl-5-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:453066 CAPLUS
DOCUMENT NUMBER: 135:61239
TITLE: Preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases
INVENTOR(S): Al-Awar, Rima Salim; Hecker, Kyle Andrew; Huang, Jianping; Joseph, Sajan; Li, Tiechao; Paal, Michael; Rathnachalam, Radhakrishnan; Ray, James Edward; Shih, Chuan; Waid, Philip Parker; Zhou, Xun; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044247	A2	20010621	WO 2000-US33273	20001218
WO 2001044247	A3	20020103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1242420	A2	20020925	EP 2000-984043	20001218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

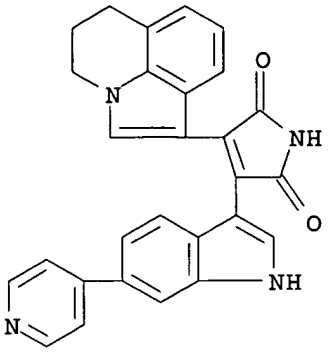
PRIORITY APPLN. INFO.: US 1999-171087P P 19991216
US 1999-171220P P 19991216
WO 2000-US33273 W 20001218
OTHER SOURCE(S): CASREACT 135:61239; MARPAT 135:61239
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B = O, S; X, Y = H; or X and Y, taken together, form a bond; R1 = H, alkyl; R2 = halo, CN, alkyl, etc.; R3 = aryl, heteroaryl, etc.; R4 = H, alkyl, etc.; R5 = halo, CN, alkyl, etc.; R6 = alkyl; R7 = alkoxycarbonyl, (CH2)mZ (m = 0-5; Z = halo, OH, etc.); Q1 = O, SOn (n = 0-2), (CH2)1-3; Q2 = carbon-carbon single or double bond, etc.; Q3 = (CH2)1-3], useful for inhibiting CDK4, were prepd. and formulated. E.g., a multi-step synthesis of II which showed activity (0.1055 .mu.M) in assay of cyclin D1-CDK4 kinase with the ING peptide as substrate, and also was found to inhibit cell growth and Rb (retinoblastoma protein) phosphorylation, was given.

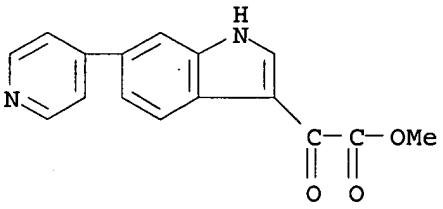
IT **345261-58-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative

diseases)
RN 345261-58-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)-4-[6-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



IT **345262-17-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)
RN 345262-17-1 CAPLUS
CN 1H-Indolo[2,3-a]pyrido[3,2,1-jk]pyrrolo[3,4-c]carbazole-7,9(8H,14H)-dione, 2,3-dihydro-12-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

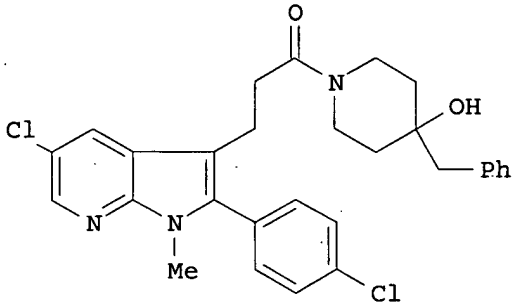
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **345265-14-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)
RN 345265-14-7 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-6-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:321176 CAPLUS
DOCUMENT NUMBER: 135:122367
TITLE: 2-Aryl Indole NK1 receptor antagonists: optimization of indole substitution
AUTHOR(S): Cooper, L. C.; Chicchi, G. G.; Dinnell, K.; Elliott, J. M.; Hollingworth, G. J.; Kurtz, M. M.; Locker, K. L.; Morrison, D.; Shaw, D. E.; Tsao, K.-L.; Watt, A. P.; Williams, A. R.; Swain, C. J.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Sharp & Dohme

10/ 053,168

Research Laboratories, Neuroscience Research Centre, Harlow, Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(9), 1233-1236
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122367
GI



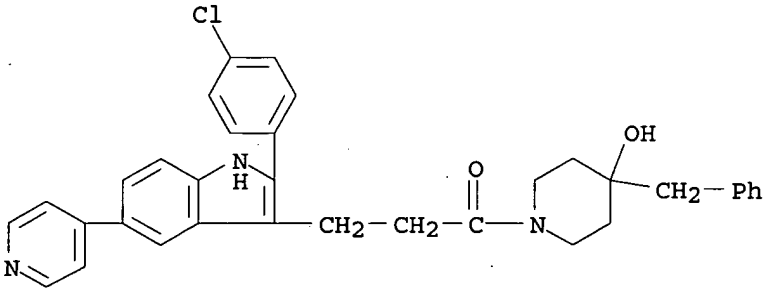
I

AB The synthesis and biol. evaluation of a series of 2-aryl indoles, e.g. I, with high affinity for the human neurokinin-1 (hNK1) receptor are reported, concg. on optimization of the indole substitution.

IT **351227-19-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(optimization of the indole substitution of aryl indole NK1 receptor antagonists)

RN 351227-19-5 CAPLUS

CN 4-Piperidinol, 1-[3-[2-(4-chlorophenyl)-5-(4-pyridinyl)-1H-indol-3-yl]-1-oxopropyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:137189 CAPLUS
DOCUMENT NUMBER: 134:193446
TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng,

10/ 053,168

Willy; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

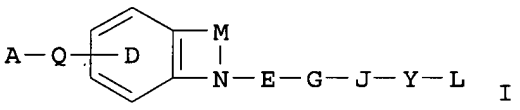
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810
WO 2001012600	C2	20020912		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

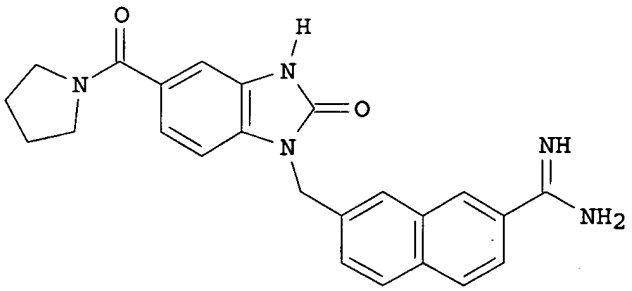
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6534535	B1	20030318	US 2000-636804	20000810

PRIORITY APPLN. INFO.: US 1999-148627P P 19990812
US 2000-202202P P 20000505
OTHER SOURCE(S): MARPAT 134:193446
GI



I



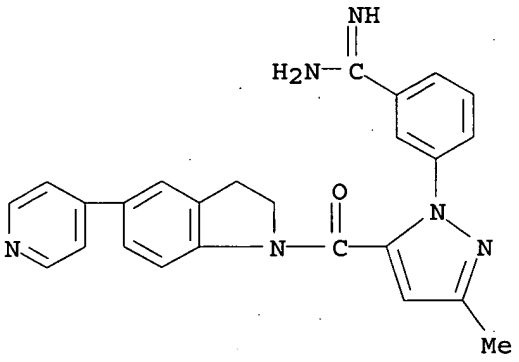
II

AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

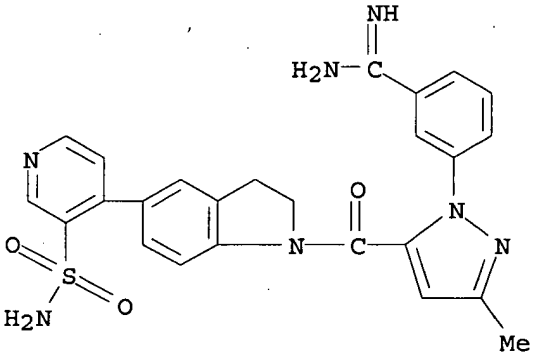
10/ 053,168

IT **327045-79-4P 327045-80-7P 327045-81-8P**
327045-87-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as inhibitors of factor Xa)

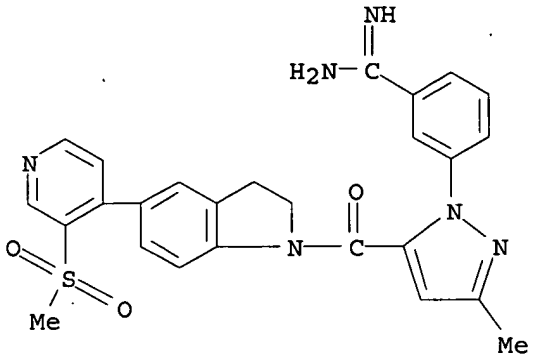
RN 327045-79-4 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-2,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



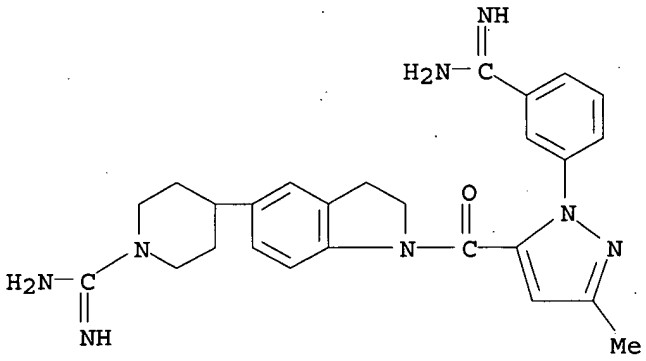
RN 327045-80-7 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-5-[3-(aminosulfonyl)-4-pyridinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 327045-81-8 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-2,3-dihydro-5-[3-(methylsulfonyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 327045-87-4 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-5-[1-(aminoiminomethyl)-4-piperidinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:63967 CAPLUS
DOCUMENT NUMBER: 134:131423
TITLE: Preparation of aminoalkylindoles and analogs as 5-HT1D receptor ligands
INVENTOR(S): Edwards, Louise; Isaac, Methvin; Maddaford, Shawn; Slassi, Abelmalik; Xin, Tao
PATENT ASSIGNEE(S): NPS Allelix Corp., Can.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005758	A2	20010125	WO 2000-CA831	20000714
WO 2001005758	A3	20010719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

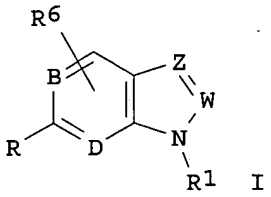
EP 1196380 A2 20020417 EP 2000-945511 20000714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2003505369 T2 20030212 JP 2001-511419 20000714

PRIORITY APPLN. INFO.: US 1999-354091 A 19990715
WO 2000-CA831 W 20000714

OTHER SOURCE(S): MARPAT 134:131423

GI

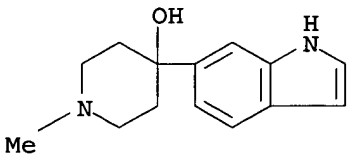


I

AB Title compds. [I; 1 of B,D = CH and the other = CH or N (W and Z .noteq. N); R = e.g., Z1NR2R3; R1 = H, alkyl, aryl, etc.; R2,R3 = H, (cyclo)alkyl, alkenyl, (un)substituted CH2Ph; NR2R3 = heterocyclyl; R6 = H, halo, alkyl, alkoxy, etc.; W = CH or N; Z = N or CR4; R4 = H or (cyclo)alkyl; Z1 = CH2, CH(OH), CO, etc.] were prepd. Thus, 6-chloroacetyl-1-pivaloylindole was aminated by Me2NH and the product treated with LAH to give 6-(2-dimethylaminoethyl)-1H-indole. Data for biol. activity of I were given.

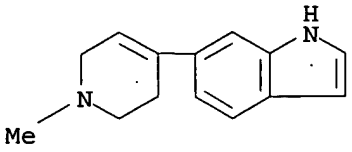
IT **321744-84-7P 321744-85-8P 321744-86-9P**
321744-89-2P 321744-91-6P 321744-92-7P
321744-97-2P 321744-98-3P 321744-99-4P
321745-84-0P 321745-85-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoalkylindoles and analogs as 5-HT1D receptor ligands)

RN 321744-84-7 CAPLUS
CN 4-Piperidinol, 4-(1H-indol-6-yl)-1-methyl- (9CI) (CA INDEX NAME)

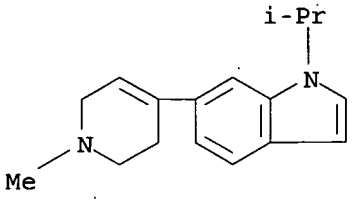


RN 321744-85-8 CAPLUS
CN 1H-Indole, 6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

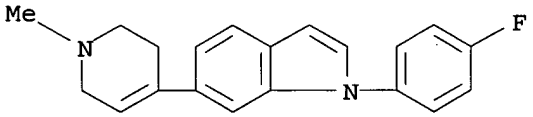
10/ 053,168



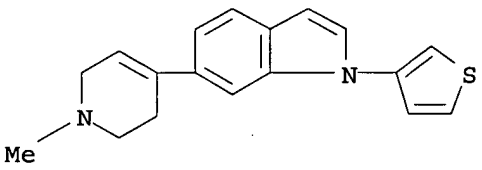
RN 321744-86-9 CAPLUS
CN 1H-Indole, 1-(1-methylethyl)-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-
(9CI) (CA INDEX NAME)



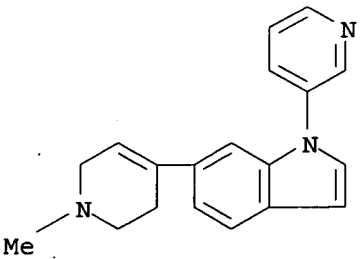
RN 321744-89-2 CAPLUS
CN 1H-Indole, 1-(4-fluorophenyl)-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-
(9CI) (CA INDEX NAME)



RN 321744-91-6 CAPLUS
CN 1H-Indole, 6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1-(3-thienyl)-
(9CI) (CA INDEX NAME)



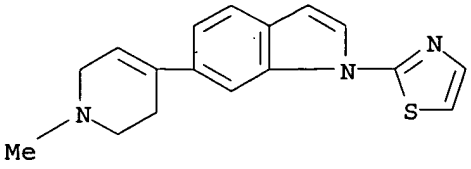
RN 321744-92-7 CAPLUS
CN 1H-Indole, 1-(3-pyridinyl)-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-
(9CI) (CA INDEX NAME)



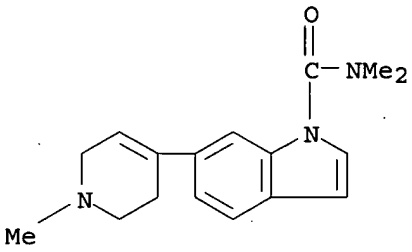
RN 321744-97-2 CAPLUS

10/ 053,168

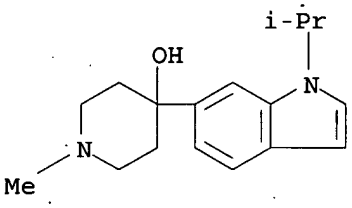
CN 1H-Indole, 6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



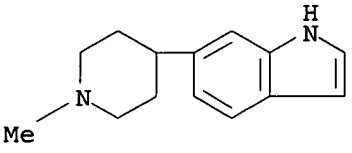
RN 321744-98-3 CAPLUS
CN 1H-Indole-1-carboxamide, N,N-dimethyl-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



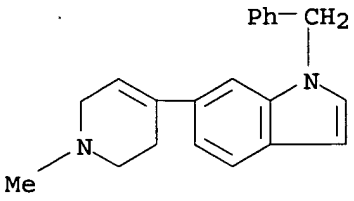
RN 321744-99-4 CAPLUS
CN 4-Piperidinol, 1-methyl-4-[1-(1-methylethyl)-1H-indol-6-yl]- (9CI) (CA INDEX NAME)



RN 321745-84-0 CAPLUS
CN 1H-Indole, 6-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 321745-85-1 CAPLUS
CN 1H-Indole, 1-(phenylmethyl)-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

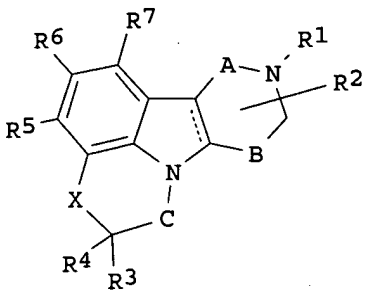


L3 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:900647 CAPLUS
DOCUMENT NUMBER: 134:56657
TITLE: Preparation of substituted heterocycle fused gamma-carbolines
INVENTOR(S): Robichaud, Albert J.; Lee, Taekyu; Deng, Wei; Mitchell, Ian S.; Haydar, Simon; Chen, Wenting; McClung, Christopher D.; Calvello, Emilie J. B.; Zawrotny, David M.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 764 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

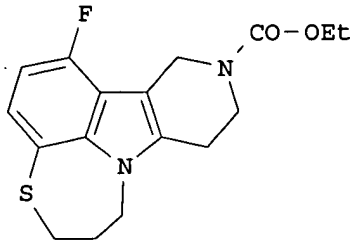
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077010	A2	20001221	WO 2000-US16373	20000615
WO 2000077010	A3	20010628		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1192165	A2	20020403	EP 2000-942807	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000012411	A	20020416	BR 2000-12411	20000615
JP 2003502336	T2	20030121	JP 2001-503867	20000615
US 6548493	B1	20030415	US 2000-594008	20000615
US 6552017	B1	20030422	US 2000-595250	20000615
NO 2001006128	A	20020211	NO 2001-6128	20011214

PRIORITY APPLN. INFO.: US 1999-139321P P 19990615
WO 2000-US16373 W 20000615
OTHER SOURCE(S): MARPAT 134:56657
GI

10/ 053,168



I



II

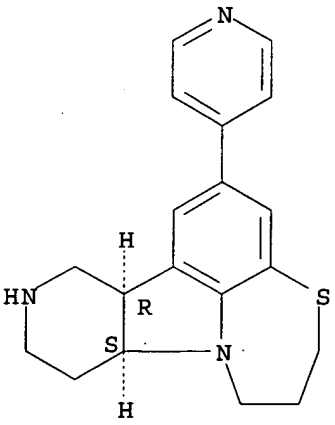
AB Novel .gamma.-carboline compds. of formula I [R1, R2 = H, acyl, alkyl, cycloalkyl, etc.; R3, R4 = H, OH, amino, CF3, alkyl, etc.; R5-R7 = H, halo, CF3, OH, CN, alkyl, aryl, heterocycle, etc.; X = (substituted) NH, (substituted) CONH, (substituted) NHCO, S; A, B, C = (CH2)n, n = 0-3] are prepd. The invention is also concerned with pharmaceutical formulations comprising these novel compds. as active ingredients and the use of the novel compds. and their formulations in the treatment of certain disorders. The compds. of this invention are serotonin agonists and antagonists and are useful in the control or prevention of central nervous system disorders including obesity, anxiety, depression, psychosis, schizophrenia, sleep disorders, sexual disorders, migraine, conditions assocd. with cephalic pain, social phobias, and gastrointestinal disorders such as dysfunction of the gastrointestinal tract motility. Thus, II is prepd. starting from p-fluorophenol, .beta.-propiolactone and 1-carbethoxy-4-piperidone. Pharmaceutical compns. contg. I are described.

IT **313539-45-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted heterocycle fused .gamma.-carbolines as serotonin agonists and antagonists)

RN 313539-45-6 CAPLUS

CN 5H-Pyrido[3',4':4,5]pyrrolo[1,2,3-ef][1,5]benzothiazepine, 6,7,8a,9,10,11,12,12a-octahydro-2-(4-pyridinyl)-, (8aR,12aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **313544-23-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

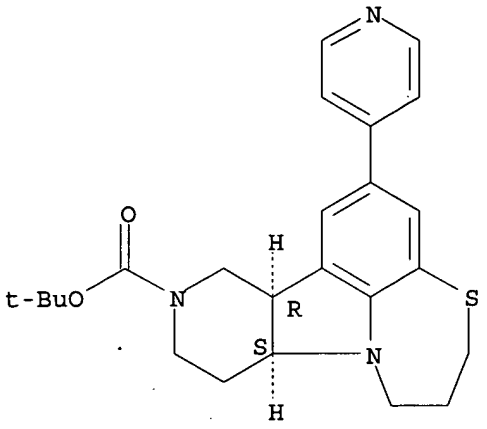
10/ 053,168

(prepn. of substituted heterocycle fused .gamma.-carbolines as serotonin agonists and antagonists)

RN 313544-23-9 CAPLUS

CN 5H-Pyrido[3',4':4,5]pyrrolo[1,2,3-ef][1,5]benzothiazepine-11(8aH)-carboxylic acid, 6,7,9,10,12,12a-hexahydro-2-(4-pyridinyl)-, 1,1-dimethylethyl ester, (8aR,12aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L3 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:839088 CAPLUS

DOCUMENT NUMBER: 134:17402

TITLE: Preparation of 4-arylpiperidine derivatives for the treatment of pruritus

INVENTOR(S): Armer, Richard Edward; Bronk, Brian Scott; Gibson, Stephen Paul; Roberts, Lee Richard; Tommasini, Ivan; Verrier, Kimberley

PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited

SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1055668	A1	20001129	EP 2000-304227	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6441000	B1	20020827	US 2000-573300	20000518
JP 2001097972	A2	20010410	JP 2000-154475	20000525
JP 2003034689	A2	20030207	JP 2002-142681	20000525
CA 2309505	AA	20001128	CA 2000-2309505	20000526
BR 2000002518	A	20010102	BR 2000-2518	20000529

PRIORITY APPLN. INFO.: GB 1999-12413 A 19990528
JP 2000-154475 A3 20000525

OTHER SOURCE(S): MARPAT 134:17402

GI

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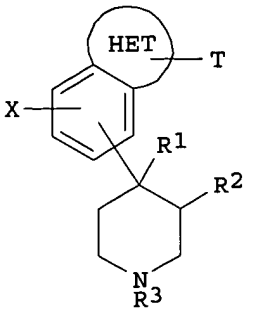


I

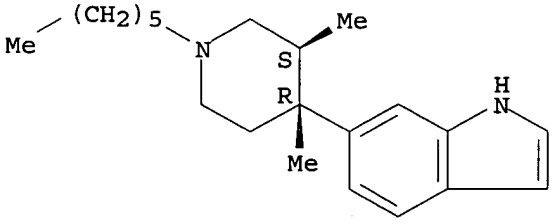
AB The title compds. I [HET = 5-, 6- or 7-membered heterocyclic ring contg. at least one nitrogen atom, and optionally one or more heteroatoms selected from oxygen or sulfur; T = H, halo, OH, :O, C1-6 alkyl, C1-6 alkoxy, etc.; R1, R2 = H, alkyl; R3 = aryl alkyl, alkenyl, alkynyl; X = halo, alkyl, alkoxy], useful in the prophylaxis and in the treatment of diseases mediated by opiate receptors, such as pruritus, were prepd. E.g., a soln. of trans-4-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1,2-benzenediamine (prepn. given) in 90% formic acid was heated to 100 .degree.C for 2 h to give trans-5-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1H-benzimidazole. The opioid receptor binding assays of I for the p-receptor were detd.

IT **309263-91-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpiperidine derivs. for the treatment of pruritus)

RN 309263-91-0 CAPLUS

CN 1H-Indole, 6-[(3R,4S)-1-hexyl-3,4-dimethyl-4-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:799078 CAPLUS

DOCUMENT NUMBER: 134:127760

TITLE: Models of monoamine oxidase A and B active sites obtained by using 3D QSAR with CoMFA analysis

AUTHOR(S): Tikhonova, O. V.; Veselovsky, A. V.; Medvedev, A. E.; Ivanov, A. S.

CORPORATE SOURCE: Institute of Biomedical Chemistry, Moscow, Russia

SOURCE: Molecular Simulation (2000), 24(4-6), 379-389
CODEN: MOSIEA; ISSN: 0892-7022

PUBLISHER: Gordon & Breach Science Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

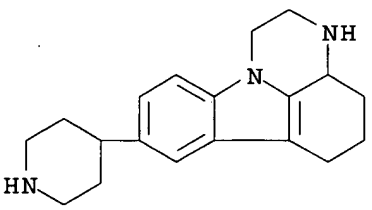
10/ 053,168

AB The monoamine oxidase catalyzes the oxidative deamination of neuroactive amines. This enzyme exists in two forms A and B, which differ by substrates preference and inhibitors specificity. Investigation of the structures of these enzymes and design new selective inhibitors are of greatly interesting since MAO A inhibitors are used in therapeutic practice as antidepressants and MAO B inhibitors - in the treatment Parkinson's diseases. The three dimension structures of monoamine oxidases are still unknown. Therefore, one of the most perspective approach to define significant features of structure of active site is method based on anal. of structure-activity relationship (3D QSAR) with comparison of mol. fields anal. (CoMFA) allowing to get the spatial distribution of important properties affecting the activity. In present study we investigate the structures of active sites MAO A and B using 16 pyrazinocarbazole derivs. in variant conformation. Majority of pyrazinocarbazole derivs. have a rigit conformation, but three of those is sufficiently flexible. The latters can be in two conformation types: long mols. (substitution accommodate along axis of main structure) and short mols. (substitution accommodate at acute angle about of main structure). Several 3D QSAR and CoMFA models of MAO A and B active sites were design for data sets contg. various types of flexible mols. conformation. All obtained models are statistical reliable and have sufficient predictive power for tested compd. tetrindole. The best MAO A model that include two flexible mols. in long conformations was obtained, and the longest one of those in short conformation. In contrast, for MAO B model contg. all flexible mols. in the short conformations is more preferred. On the basis of obtained data the schematic models of MAO A and B active sites structures are proposed. According to these models MAO A active site have the narrow long cavity that accommodate long mols., while MAO B active site is broader and shorter.

IT **219518-43-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(models of monoamine oxidase A and B active sites obtained by using 3D QSAR with CoMFA anal.)

RN 219518-43-1 CAPLUS

CN 1H-Pyrazino[3,2,1-jk]carbazole, 2,3,3a,4,5,6-hexahydro-8-(4-piperidinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:774146 CAPLUS
DOCUMENT NUMBER: 134:143706
TITLE: Selective inhibitors and computer modelling of the active site of monoamine oxidase
AUTHOR(S): Medvedev, A. E.; Ivanov, A. S.; Veselovsky, A. V.
CORPORATE SOURCE: Institute of Biomedical Chemistry, Russian Academy of Medical Sciences, Moscow, 119832, Russia
SOURCE: Neurobiology (Budapest) (2000), 8(2), 201-214
CODEN: NROBEZ; ISSN: 1216-8068
PUBLISHER: Akademiai Kiado
DOCUMENT TYPE: Journal

10/ 053,168

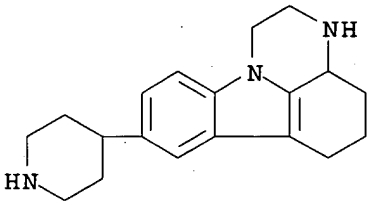
LANGUAGE: English

AB MAO inhibitors can be employed for computer modeling of the active site of MAO A and B. Competitive fully reversible MAO inhibitors with rigid structure and limited no. of conformers are preferential compds. for these studies. Among various isatin analogs with nearllanar structure selective MAO B inhibitors fit to 3D box of 8.5 .times. 5.1 .times. 1.8 .ANG., whereas 3D box of 14.2 .times. 5.6 .times. 1.8 .ANG. accommodates selective MAO A inhibitors. Validity of these data was tested using a series of pyrazinocarbazoles, analogs of short-acting antidepressant pirlindole. Rigid analogs exhibiting potent and selective inhibition of MAO A have 3D size limits of 13 .times. 7 .times. 4.4 .ANG.. Flexible analogs also demonstrated potent inhibition of MAO B and in contrast to rigid analogs their inhibitory activity did not show any dependence on 3D sizes. 3D-QSAR with CoMFA of isatin and pirlindole analogs of MAO A and B revealed differences in the models of MAO A and B.

IT **219518-43-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(selective inhibitors and computer modeling of active site of monoamine oxidase)

RN 219518-43-1 CAPLUS

CN 1H-Pyrazino[3,2,1-jk]carbazole, 2,3,3a,4,5,6-hexahydro-8-(4-piperidinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:456878 CAPLUS

DOCUMENT NUMBER: 133:89522

TITLE: Preparation of indole and indolizidine derivatives for the treatment of migraine

INVENTOR(S): Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Maddaford, Shawn; Slassi, Abdelmalik; Tehim, Ashok; Xin, Tao

PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038677	A1	20000706	WO 1999-CA1241	19991222

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

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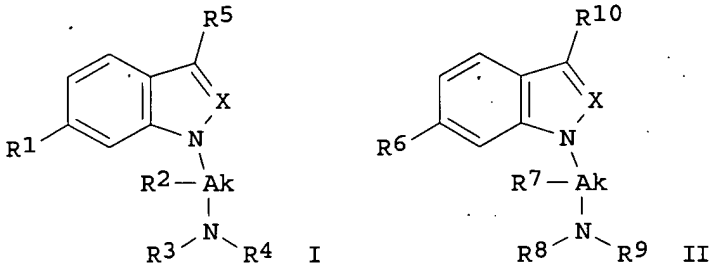
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2356638	AA	20000706	CA 1999-2356638		19991222
EP 1140074	A1	20011010	EP 1999-962019		19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6380242	B1	20020430	US 1999-469327		19991222
JP 2002533391	T2	20021008	JP 2000-590631		19991222
US 2002169322	A1	20021114	US 2002-73130		20020213
PRIORITY APPLN. INFO.:			US 1998-113932P	P	19981223
			US 1999-469327	A3	19991222
			WO 1999-CA1241	W	19991222

OTHER SOURCE(S): MARPAT 133:89522

GI



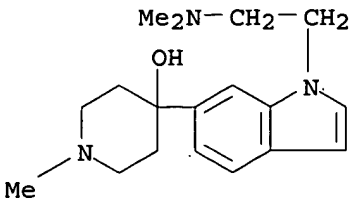
AB The title compds. [I; X = N, CH; R1 = (un)substituted (un)satd. 5-7 membered monocyclic or benzo-fused heterocyclic ring; Ak = alkylene chain which may be substituted with R2 (wherein R2 = alkyl); R3, R4 = H, alkyl, alkenyl, etc.; or one pair of R2 and R3 or R3 and R4 together may form an alkylene or alkenylene bridge which, with the nitrogen atom, form (un)substituted 3-7 membered ring; R5 = H, alkyl, (un)satd. 4-7 membered carbocyclic or heterocyclic group], useful for the treatment of migraine, were prepd. and formulated. E.g., a multi-step synthesis of indole I [X = CH; R1 = tetrahydropyran-4-yl; Ak = (CH2)2; R3, R4 = Me; R5 = H] which showed inhibition of > 90% at the 5-HT1D receptor, was given. Also disclosed are novel compds. II [X = N, CH; R6 = (un)substituted (un)satd. 5-7 membered monocyclic or benzo-fused heterocyclic ring; Ak = alkylene chain which may be substituted with R7 (wherein R7 = alkyl); R8, R9 = H, alkyl, alkenyl, etc.; R10 = H, alkyl, (un)satd. 4-7 membered carbocyclic or heterocyclic group].

IT **281202-74-2P 281202-87-7P 281204-37-3P 281204-40-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of indoles and indolizidines for the treatment of migraine)

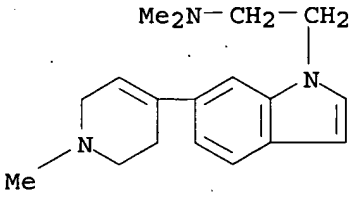
RN 281202-74-2 CAPLUS

CN 4-Piperidinol, 4-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-1-methyl- (9CI) (CA INDEX NAME)

10/ 053,168

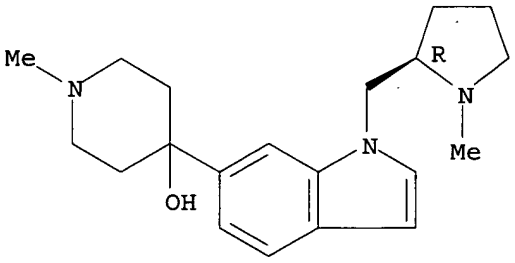


RN 281202-87-7 CAPLUS
CN 1H-Indole-1-ethanamine, N,N-dimethyl-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



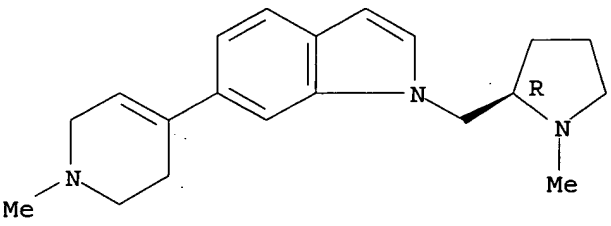
RN 281204-37-3 CAPLUS
CN 4-Piperidinol, 1-methyl-4-[1-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 281204-40-8 CAPLUS
CN 1H-Indole, 1-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **281203-00-7P 281204-08-8P 281204-42-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoles and indolizidines for the treatment of migraine)
RN 281203-00-7 CAPLUS

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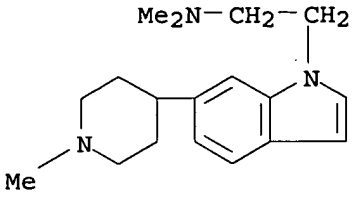
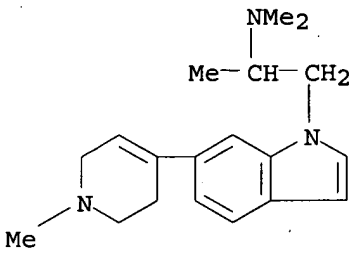
CN 1H-Indole-1-ethanamine, N,N-dimethyl-6-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

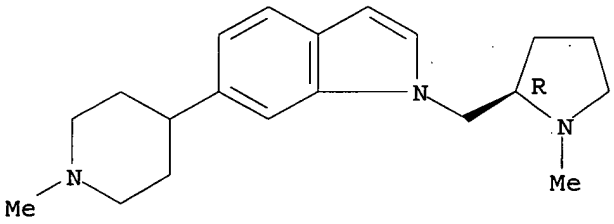


RN 281204-08-8 CAPLUS
CN 1H-Indole-1-ethanamine, N,N,.alpha.-trimethyl-6-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 281204-42-0 CAPLUS
CN 1H-Indole, 6-(1-methyl-4-piperidinyl)-1-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:210164 CAPLUS
DOCUMENT NUMBER: 132:251073
TITLE: Preparation of 3-(azabicycloalkyl)indoles as 5-HT1D receptor ligands
INVENTOR(S): Edwards, Louise; Slassi, Abdelmalik; Tehim, Ashok; Xin, Tao
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

10/ 053,168

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017198	A1	20000330	WO 1999-CA833	19990913
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6562809	B1	20030513	US 1998-156496	19980918
CA 2343391	AA	20000330	CA 1999-2343391	19990913
AU 9956135	A1	20000410	AU 1999-56135	19990913
EP 1114049	A1	20010711	EP 1999-942679	19990913
EP 1114049	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002526497	T2	20020820	JP 2000-574107	19990913
AT 234837	E	20030415	AT 1999-942679	19990913

PRIORITY APPLN. INFO.: US 1998-156496 A 19980918
WO 1999-CA833 W 19990913

OTHER SOURCE(S): MARPAT 132:251073

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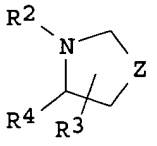
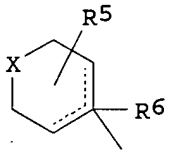
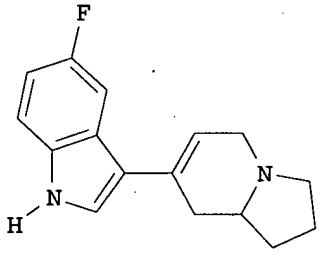


I



II



III

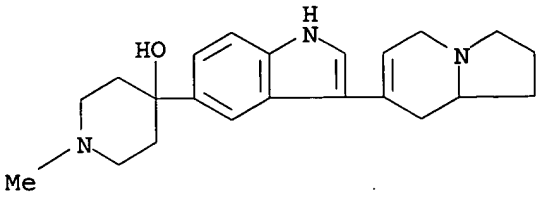
AB Title compds. [I; R2R4 = (un)substituted CH2CH2N(Z1R1)CH2, -CH2CHC(Z1R1)CH2, -CH2CH2C(Z1R1):CH; R1 = H, halo, alkyl, alkoxy, heterocyclyl group II; R3 = H, OH, alkyl, alkoxy, etc.; R5 = H, OH, alkyl, alkoxy; R6 = null when 1 of dashed lines = bond; R6 = H, OH, alkoxy when dashed lines = null; X = O, S, (alkyl)imino, alkylidene, etc.; Z = (CH2)1-3; Z1 = (1-alkyl) indole-3,5-diyl] were prepd. Thus, octahydroindolizin-7-one was condensed with 5-fluoro-1H-indole to give title compd III. Data for biol. activity of I were given.

IT **262593-24-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(azabicycloalkyl)indoles as 5-HT1D receptor ligands)

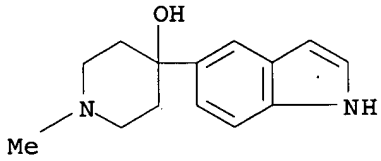
RN 262593-24-8 CAPLUS

CN 4-Piperidinol, 4-[3-(1,2,3,5,8,8a-hexahydro-7-indolizinyl)-1H-indol-5-yl]-1-methyl- (9CI) (CA INDEX NAME)

10/ 053,168

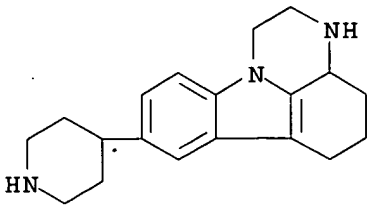


IT **262593-61-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-(azabicycloalkyl)indoles as 5-HT1D receptor ligands)
RN 262593-61-3 CAPLUS
CN 4-Piperidinol, 4-(1H-indol-5-yl)-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:135316 CAPLUS
DOCUMENT NUMBER: 133:53138
TITLE: Inhibition of monoamine oxidase by pirlindole analogues: 3D-QSAR analysis
AUTHOR(S): Medvedev, A. E.; Ramsay, R. R.; Ivanov, A. S.; Veselovsky, A. S.; Shvedov, V. I.; Tikhonova, O. V.; Barradas, A.-P. V.; Davidson, C. K.; Moskvitina, T. A.; Fedotova, O. A.; Axenova, L. N.
CORPORATE SOURCE: Institute of Biomedical Chemistry, Moscow, Russia
SOURCE: Neurobiology (Budapest) (1999), 7(2), 151-158
CODEN: NROBEZ; ISSN: 1216-8068
PUBLISHER: Akademiai Kiado
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of pirlindole analogs were tested as inhibitors of monoamine oxidase A and B. Although we did not find strict dependence between 3D-size of mols. and their inhibitory potency, rigid analogs exhibited potent and selective inhibition of MAO-A. They have 3D size limits of 13 angstroms (length) .times. 7 angstroms (height) .times. 4.4 angstroms (widths). Besides MAO-A inhibition flexible analogs also demonstrated potent inhibition of MAO-B. Five compds. were studied as inhibitors of purified human liver MAO-A. Their inhibitory potencies coincided with those obtained using rat liver mitochondrial MAO-A. Each compd. induced changes in the spectrum of MAO-A but these did not correlate with the flexibility of the deriv. It is also possible that the oxygen bridge introduced with the flexibility might influence spectral patterns.
IT **219518-43-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibition of monoamine oxidase by pirlindole analogs: 3D-QSAR anal.)
RN 219518-43-1 CAPLUS
CN 1H-Pyrazino[3,2,1-jk]carbazole, 2,3,3a,4,5,6-hexahydro-8-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

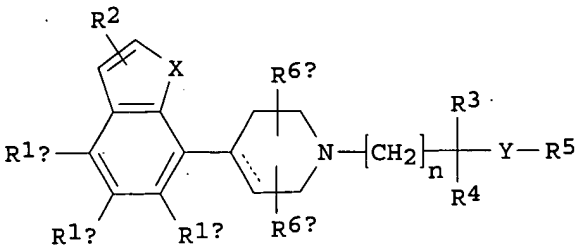
L3 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:15012 CAPLUS
DOCUMENT NUMBER: 132:64175
TITLE: Preparation of piperidine derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne; Kohlmam, Daniel Timothy; Liang, Sidney Xi; Wong, David Taiwai; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000198	A1	20000106	WO 1999-US14732	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336117	AA	20000106	CA 1999-2336117	19990629
AU 9947266	A1	20000117	AU 1999-47266	19990629
EP 982304	A1	20000301	EP 1999-305095	19990629
EP 982304	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1146045	A1	20011017	EP 2001-202620	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002519323	T2	20020702	JP 2000-556783	19990629
AT 225345	E	20021015	AT 1999-305095	19990629
ES 2181366	T3	20030216	ES 1999-305095	19990629
US 6436964	B1	20020820	US 2000-701406	20001128

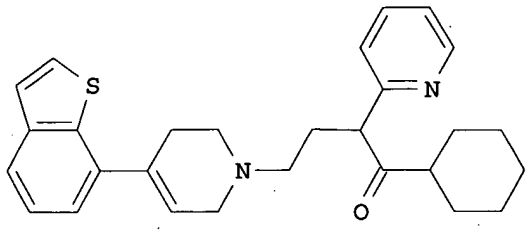
PRIORITY APPLN. INFO.: US 1998-91241P P 19980630
EP 1999-305095 A3 19990629
WO 1999-US14732 W 19990629

OTHER SOURCE(S): MARPAT 132:64175
GI

10/ 053,168



I



II

AB The title compds. [I; X = O, S, SO, SO2, NR; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, Br, etc.; R3 = O, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R5 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R6a, R6b = H, alkyl] and their pharmaceutically acceptable salts, useful for inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepd. and formulated. E.g., a multi-step synthesis of tetrahydropyridine II.oxalate, was given. In general, compds. I are effective at 1-200 mg/day.

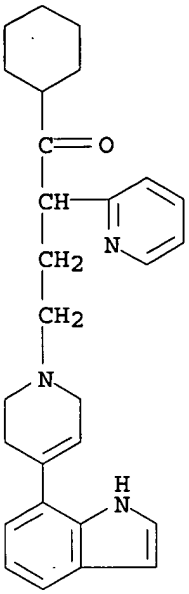
IT **253428-38-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine derivs. having effects on serotonin related systems)

RN 253428-38-5 CAPLUS

CN 1-Butanone, 1-cyclohexyl-4-[3,6-dihydro-4-(1H-indol-7-yl)-1(2H)-pyridinyl]-2-(2-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

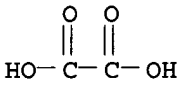
CM 1

CRN 253428-37-4
CMF C28 H33 N3 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:819367 CAPLUS
DOCUMENT NUMBER: 132:49984
TITLE: Preparation of 4-, 5-, 6- and 7-indole and indoline derivatives as potent serotonin reuptake inhibitors and 5-HT1A antagonists
INVENTOR(S): Moltzen, Ejner Knud; Mikkelsen, Ivan; Krog-Jensen, Christian
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

applicant LS

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967237	A1	19991229	WO 1999-DK326	19990614

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2335711	AA	19991229	CA 1999-2335711	19990614	
AU 9943592	A1	20000110	AU 1999-43592	19990614	
BR 9911843	A	20010320	BR 1999-11843	19990614	
EP 1089997	A1	20010411	EP 1999-926281	19990614	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
NO 2000006460	A	20010219	NO 2000-6460	20001218	
BG 105136	A	20010928	BG 2001-105136	20010110	
US 6391882	B1	20020521	US 2001-719849	20010202	
US 2002128272	A1	20020912	US 2002-53168	20020115	

PRIORITY APPLN. INFO.:

DK 1998-820	A	19980619
US 1998-92823P	P	19980714
WO 1999-DK326	W	19990614
US 2001-719849	A3	20010202

OTHER SOURCE(S): MARPAT 132:49984
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; W = N, C, CH, COH; A = II (wherein X = O, S, N, etc.; Y = N, O, S, etc.; provided that X and Y are not both O or S), III (U = C, CH, N), IV; n = 0-5; m = 0-5; Z = CH2, O, S, etc.; R3-R9, R11, R12 = H, halo, CN, etc.; R10 = H, alkenyl, alkynyl, etc.] and their acid addn. salts, potent serotonin reuptake inhibitors and 5-HT1A receptor antagonists which are useful in treating of affective disorders, such as depression, psychosis, and anxiety disorders, were prepd. Thus, reaction of 2-(3-benzofuranyl)acetic acid with 1-(1H-indol-4-yl)piperazine in the presence of N,N-dicyclohexylcarbodiimide in THF/DMF followed by treatment of the resulting 1-(3-benzofuranyl)methylcarbonyl-4-(1H-indol-4-yl)piperazine with LiAlH4 in THF afforded V.oxalate which showed IC50 of 31 nM against serotonin reuptake.

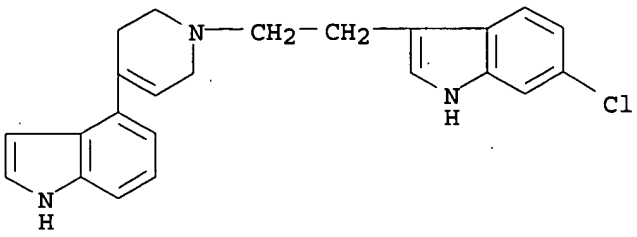
IT **252977-98-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-, 5-, 6- and 7-indole and indoline derivs. as potent serotonin reuptake inhibitors and 5-HT1A antagonists)

RN 252977-98-3 CAPLUS

CN 1H-Indole, 6-chloro-3-[2-[3,6-dihydro-4-(1H-indol-4-yl)-1(2H)-pyridinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

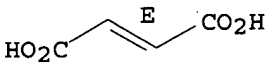
CRN 252977-97-2
CMF C23 H22 Cl N3



CM 2

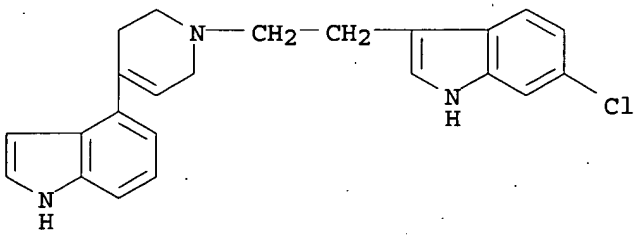
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

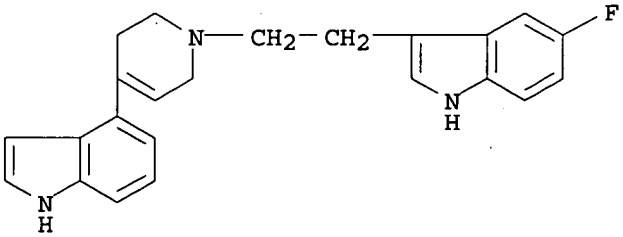


IT **252977-97-2P 252977-99-4P 252978-00-0P**
252978-50-0P 252978-51-1P 252978-60-2P
252978-73-7P 252978-74-8P 252978-75-9P
252978-77-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-, 5-, 6- and 7-indole and indoline derivs. as potent serotonin reuptake inhibitors and 5-HT1A antagonists)

RN 252977-97-2 CAPLUS
CN 1H-Indole, 6-chloro-3-[2-[3,6-dihydro-4-(1H-indol-4-yl)-1(2H)-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 252977-99-4 CAPLUS
CN 1H-Indole, 3-[2-[3,6-dihydro-4-(1H-indol-4-yl)-1(2H)-pyridinyl]ethyl]-5-fluoro- (9CI) (CA INDEX NAME)

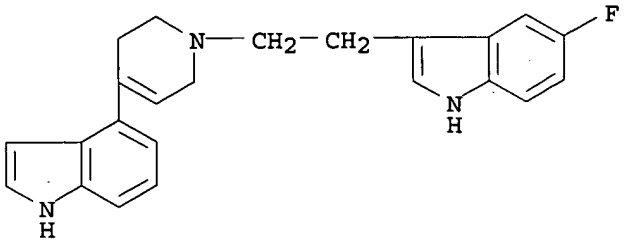


10/ 053,168

RN 252978-00-0 CAPLUS
CN 1H-Indole, 3-[2-[3,6-dihydro-4-(1H-indol-4-yl)-1(2H)-pyridinyl]ethyl]-5-fluoro-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

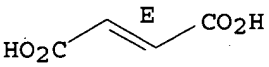
CRN 252977-99-4
CMF C23 H22 F N3



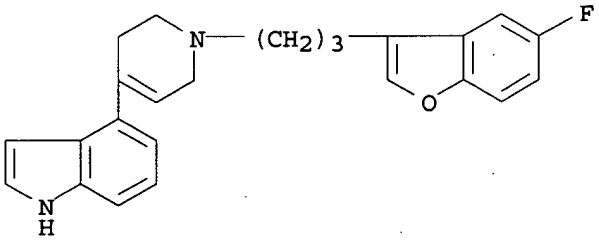
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



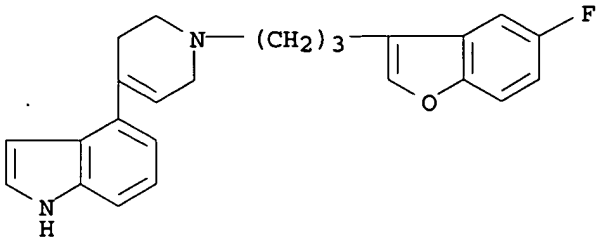
RN 252978-50-0 CAPLUS
CN 1H-Indole, 4-[1-[3-(5-fluoro-3-benzofuranyl)propyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 252978-51-1 CAPLUS
CN 1H-Indole, 4-[1-[3-(5-fluoro-3-benzofuranyl)propyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

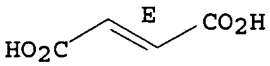
CRN 252978-50-0
CMF C24 H23 F N2 O



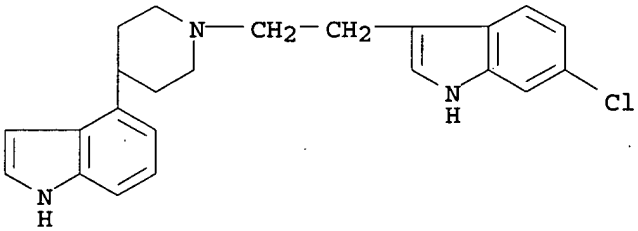
CM 2

CRN 110-17-8
CMF C4 H4 O4

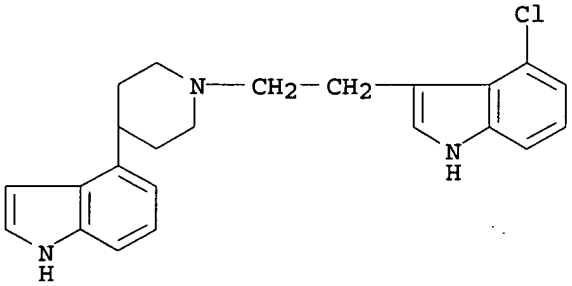
Double bond geometry as shown.



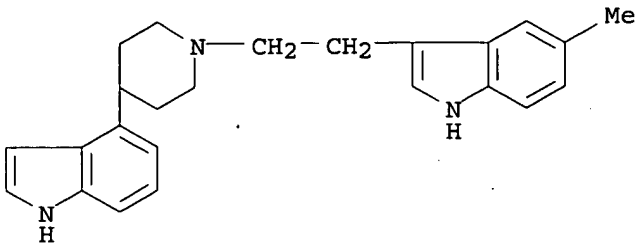
RN 252978-60-2 CAPLUS
CN 1H-Indole, 6-chloro-3-[2-[4-(1H-indol-4-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



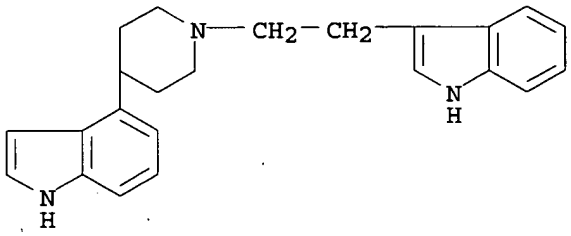
RN 252978-73-7 CAPLUS
CN 1H-Indole, 4-chloro-3-[2-[4-(1H-indol-4-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



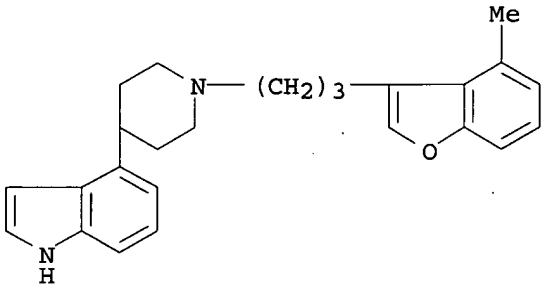
RN 252978-74-8 CAPLUS
CN 1H-Indole, 3-[2-[4-(1H-indol-4-yl)-1-piperidinyl]ethyl]-5-methyl- (9CI) (CA INDEX NAME)



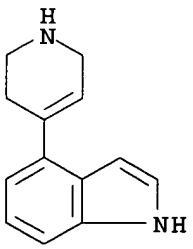
RN 252978-75-9 CAPLUS
CN 1H-Indole, 3-[2-[4-(1H-indol-4-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 252978-77-1 CAPLUS
CN 1H-Indole, 4-[1-[3-(4-methyl-3-benzofuranyl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

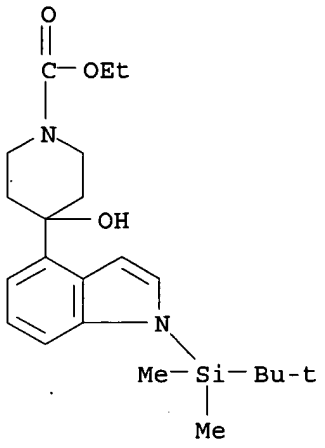


IT **252978-93-1P 252978-94-2P 252978-95-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-, 5-, 6- and 7-indole and indoline derivs. as potent serotonin reuptake inhibitors and 5-HT1A antagonists)
RN 252978-93-1 CAPLUS
CN 1H-Indole, 4-(1,2,3,6-tetrahydro-4-pyridinyl)- (9CI) (CA INDEX NAME)

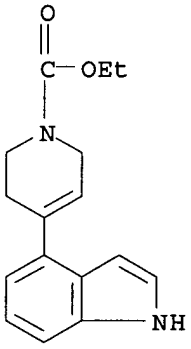


10/ 053,168

RN 252978-94-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[(1,1-dimethylethyl)dimethylsilyl]-1H-indol-4-yl]-4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 252978-95-3 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-4-(1H-indol-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:808573 CAPLUS
DOCUMENT NUMBER: 132:57127
TITLE: Imaging medium and process for producing an image
INVENTOR(S): Gaudiana, Russell A.; Haddock, Robert W.; Haque, Serajul; Kliman, Bloom Iris B.; Marshall, John L.; Ramos, Socorro M.; Takiff, Larry C.; Telfer, Stephen J.; Young, Michael A.
PATENT ASSIGNEE(S): Polaroid Corp., USA
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. 5,631,118.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 6004719	A	19991221	US 1997-858659	19970519
US 5441850	A	19950815	US 1994-232725	19940425
US 5631118	A	19970520	US 1995-430420	19950428
WO 9824000	A1	19980604	WO 1997-US21856	19971126
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 951661	A1	19991027	EP 1997-947637	19971126
R: DE, FR, GB, IT, NL				
US 6015907	A	20000118	US 1997-979375	19971126

PRIORITY APPLN. INFO.:

US 1994-232725	A2	19940425
US 1995-430420	A2	19950428
US 1996-757195	A	19961127
US 1997-858659	A	19970519
US 1997-944284	A2	19971006
WO 1997-US21856	W	19971126

OTHER SOURCE(S): MARPAT 132:57127

AB A process for producing an image uses an imaging medium comprising an acid-generating layer or phase comprising a mixt. of a superacid precursor, a sensitizing dye and a secondary acid generator, and a color-change layer comprising an image dye. The sensitizing dye has 1st and 2nd forms, the 1st form having substantially greater substantial absorption in a 1st wavelength range than the 2nd form. The superacid precursor is not capable, in the absence of the 1st form of the sensitizing dye, of being decompd. by radiation in the 1st wavelength range. The secondary acid generator is capable of thermal decompn., catalyzed by superacid, to form a secondary acid. While at least part of the sensitizing dye is in its 1st form, the medium is imagewise exposed to radiation in the 1st wavelength range, thereby causing, in the exposed areas of the acid-generating layer, the formation of superacid. The medium is then heated to cause, in the exposed areas, thermal decompn. of the secondary acid generator, catalyzed by the superacid, and formation of the secondary acid. The components of the acid-generating and color-change layers or phases are then mixed so that the secondary acid causes a change in color of the image dye, and the sensitizing dye is converted to its 2nd form. The acid-generating layer or phase desirably includes a cosensitizer which is a reducing agent less basic than the secondary acid generator.

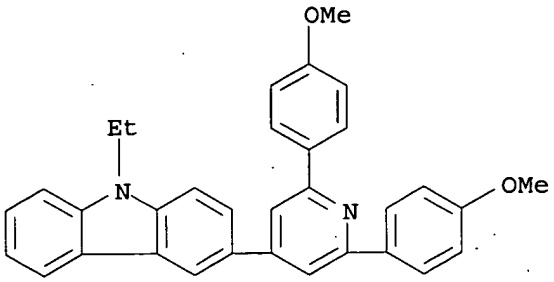
IT **252916-23-7P**
RL: NUU (Other use, unclassified); PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(indicator dye for imaging medium and process for producing image)

RN 252916-23-7 CAPLUS

CN Antimonate(1-), hexafluoro-, (OC-6-11)-, hydrogen, compd. with 3-[2,6-bis(4-methoxyphenyl)-4-pyridinyl]-9-ethyl-9H-carbazole (1:1) (9CI) (CA INDEX NAME)

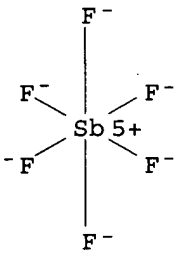
CM 1

CRN 252916-22-6
CMF C33 H28 N2 O2



CM 2

CRN 16950-06-4
CMF F6 Sb . H
CCI CCS



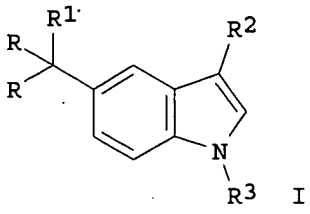
H^+

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:779222 CAPLUS
DOCUMENT NUMBER: 132:22868
TITLE: Preparation of 5-(hetero)cycloalkylindoles as 5-HT1D-like receptor agonists
INVENTOR(S): Slassi, Abdelmalik; Edwards, Louise; Meng, Qingchang; Rakhit, Sumanas
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals, Inc., Can.
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998438	A	19991207	US 1997-976103	19971121

PRIORITY APPLN. INFO.: US 1996-69887 19961126
OTHER SOURCE(S): MARPAT 132:22868
GI



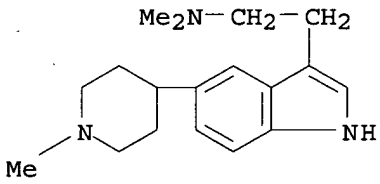
I

AB Title compds. [I; RR = atoms to complete an (un)substituted carbo- or heterocyclic ring; R1 = null, H, OH; R2 = CR5R6CH2NR7R8, 2- or 3-pyrrolidinyl, etc.; R3 = H or Bz; R5,R6 = H, OH, alkoxy; R7,R8 = H or alkyl; NR7R8 = heterocyclyl] were prepd. Thus, 5-bromoindole was treated with (COCl)2 and the product amidated with Me2NH to give 5-bromo-3-(dimethylaminoglyoxyloyl)indole which was condensed with 1-cyclohexenyltributylstannane to give, after redn., I (RR = 1-cyclohexenyl, R1 = null, R2 = CH2CH2NMe2, R3 = H). Data for biol. activity of I were given.

IT **251967-65-4P 251967-66-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-(hetero)cycloalkylindoles as 5-HT1D-like receptor agonists)

RN 251967-65-4 CAPLUS

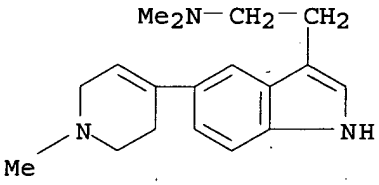
CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(1-methyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 251967-66-5 CAPLUS

CN 1H-Indole-3-ethanamine, N,N-dimethyl-5-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

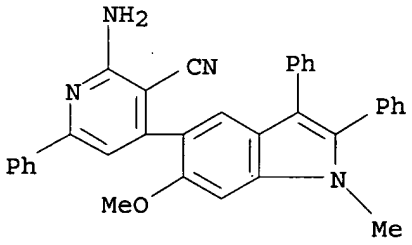
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:645611 CAPLUS
DOCUMENT NUMBER: 132:49850
TITLE: Synthesis of pharmacologically active indoles
AUTHOR(S): Hishmat, O. H.; Ebeid, M. Y.; Nakkady, S. S.; Fathy, M. M.; Mahmoud, S. S.
CORPORATE SOURCE: Natural Products Department, National Research Centre, Cairo, Egypt
SOURCE: Bollettino Chimico Farmaceutico (1999), 138(6), 259-266
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English

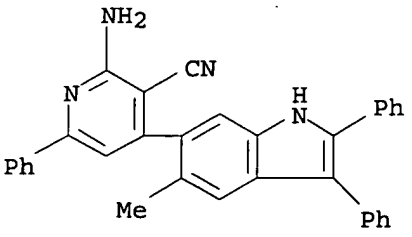
AB Formylation of 6-methoxy-1-methyl- (I) and 5-methyl-2,3-diphenyl-1H-indole (II) gave the 5- (III) and 6-carboxaldehyde derivs. (IV), resp., which were treated with Et cyanoacetate to form the corresponding 2-cyano-3-substituted acrylic acid Et esters. The latter compds. reacted with hydrazine hydrate, urea and thiourea to form the corresponding 5-amino-4-substituted 2,4-dihydropyrazol-3-one, 6-indolyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidine-5-carbonitriles, and 6-indolyl-4-oxo-2-thioxo-1,2,3,4-tetrahydropyrimidine-5-carbonitriles. Reaction of the 5- and 6-carboxaldehyde derivs. with malononitrile afforded the 2-substituted malononitrile derivs. These reacted readily with arom. ketones to give the 2-amino-4,6-disubstituted nicotinonitriles. Several products, e.g., I-IV, were tested for antiinflammatory, ulcerogenic, and antispasmodic activities.

IT **252915-53-0P 252915-58-5P 252915-59-6P 252915-60-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(pharmacol. active indoles)

RN 252915-53-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-4-(6-methoxy-1-methyl-2,3-diphenyl-1H-indol-5-yl)-6-phenyl- (9CI) (CA INDEX NAME)



RN 252915-58-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-4-(5-methyl-2,3-diphenyl-1H-indol-6-yl)-6-phenyl- (9CI) (CA INDEX NAME)



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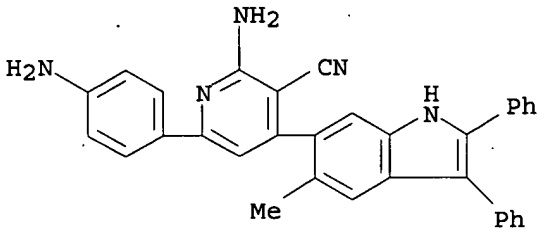
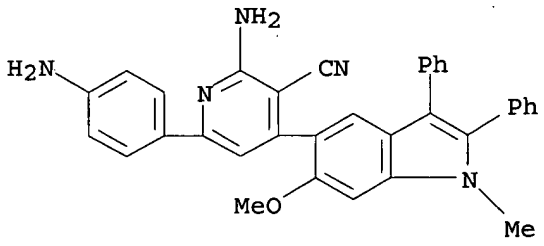
RN 252915-59-6 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-6-(4-aminophenyl)-4-(5-methyl-2,3-diphenyl-1H-indol-6-yl)- (9CI) (CA INDEX NAME)



RN 252915-60-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-6-(4-aminophenyl)-4-(6-methoxy-1-methyl-2,3-diphenyl-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:745030 CAPLUS
DOCUMENT NUMBER: 130:13915
TITLE: Indole derivatives having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity
INVENTOR(S): Gaster, Laramie Mary; Rami, Harshad Kantilal; Wyman, Paul Adrian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

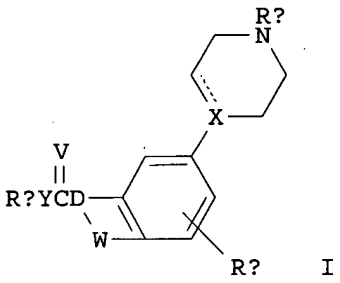
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850358	A1	19981112	WO 1998-EP2262	19980414
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9874310	A1	19981127	AU 1998-74310	19980414
AU 732863	B2	20010503		

10/ 053,168

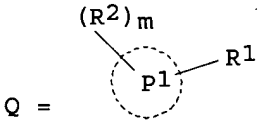
EP 975593	A1	20000202	EP 1998-921462		19980414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
JP 2001524116	T2	20011127	JP 1998-547660		19980414
BR 9809092	A	20020122	BR 1998-9092		19980414
ZA 9803242	A	19991018	ZA 1998-3242		19980417
NO 9905065	A	19991015	NO 1999-5065		19991015
MX 9909583	A	20000331	MX 1999-9583		19991018
PRIORITY APPLN. INFO.:			GB 1997-7829	A	19970418
			GB 1998-1882	A	19980129
			WO 1998-EP2262	W	19980414

OTHER SOURCE(S): MARPAT 130:13915

GI



I

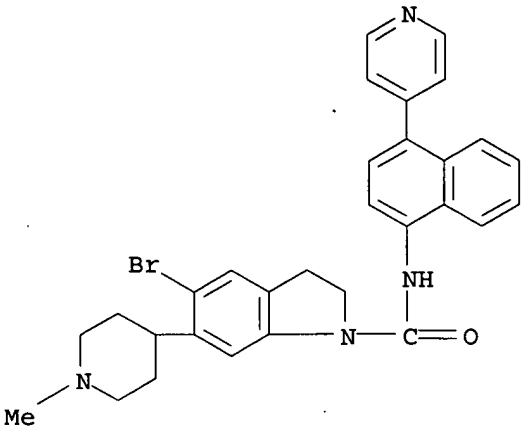


AB The title compds. I [Ra is a group of formula Q, in which P1 is Ph, bicyclic aryl, a 5- to 7-membered heterocyclic ring contg. 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur, or a bicyclic heterocyclic ring contg. 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur; R1 = H, halo, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, hydroxy, hydroxyC1-6alkyl, hydroxyC1-6alkoxy, C1-6alkoxyC1-6alkoxy, C1-6alkanoyl, nitro, trifluoromethyl, cyano, SR9, SOR9, SO2R9, SO2NR10R11, CO2R10, CONR10R11, CO2NR10R11, CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cNR10COR11, (CH2)cCO2C1-6alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11, CR10:NOR11, NR10COOR11, CNR10:NOR11, where R10 and R11 are independently hydrogen or C1-6alkyl and c is 1 to 4; R2 = H, halo, C1-6alkyl, C3-6cycloalkyl, C3-6cycloalkenyl, C1-6alkoxy, acyl, aryl, acyloxy, hydroxy, nitro, trifluoromethyl, cyano, CO2R10, CONR10R11, NR10R11 where R10 and R11 are as defined for R1; a is 1, 2 or 3; or Ra is a group contg. bridged rings; Y = NH, alkylamino, CH2, O; V = O, S; D = N, C, CH; W = (CR16R17)t where t = 2-4 and R16 and R17 = H, alkyl, etc.; Rb = H, halo, OH, etc.; Rc = H, alkyl] were prepd. and their 5HT1A,, 5HT1B, and 5HT1D receptor binding detd. E.g., 5-methoxy-6-(4-methylpiperazin-1-yl)indole was treated with KOCMe3, then with 4-bromo-3-methylphenyl isocyanate to give 1-[(4-bromo-3-methylphenyl)aminocarbonyl]-5-methoxy-6-(4-methylpiperazin-1-yl)indole.

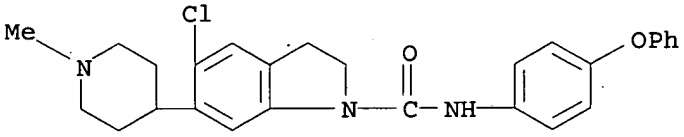
IT **216058-44-5P 216058-51-4P 216058-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole derivs. having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity)

RN 216058-44-5 CAPLUS

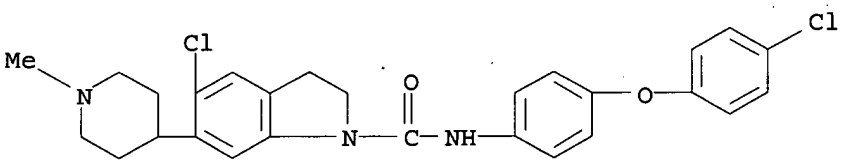
CN 1H-Indole-1-carboxamide, 5-bromo-2,3-dihydro-6-(1-methyl-4-piperidinyl)-N-[4-(4-pyridinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)



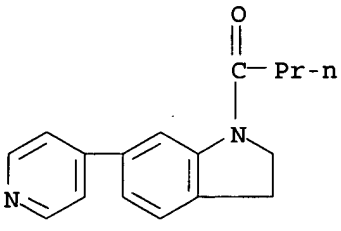
RN 216058-51-4 CAPLUS
CN 1H-Indole-1-carboxamide, 5-chloro-2,3-dihydro-6-(1-methyl-4-piperidinyl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



RN 216058-52-5 CAPLUS
CN 1H-Indole-1-carboxamide, 5-chloro-N-[4-(4-chlorophenoxy)phenyl]-2,3-dihydro-6-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



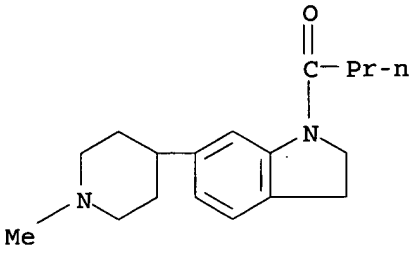
IT **216059-81-3P 216059-82-4P 216059-83-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indole derivs. having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity)
RN 216059-81-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(1-oxobutyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



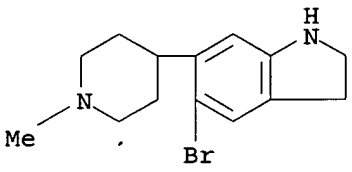
RN 216059-82-4 CAPLUS

10/ 053,168

CN 1H-Indole, 2,3-dihydro-6-(1-methyl-4-piperidinyl)-1-(1-oxobutyl)- (9CI) (CA INDEX NAME)



RN 216059-83-5 CAPLUS
CN 1H-Indole, 5-bromo-2,3-dihydro-6-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:713359 CAPLUS
DOCUMENT NUMBER: 130:90081
TITLE: Inhibition of Monoamine Oxidase by Pirlindole Analogs: 3D-QSAR and CoMFA Analysis
AUTHOR(S): Medvedev, A. E.; Veselovsky, A. V.; Shvedov, V. I.; Tikhonova, O. V.; Moskvitina, T. A.; Fedotova, O. A.; Axenova, L. N.; Kamyshanskaya, N. S.; Kirkel, A. Z.; Ivanov, A. S.
CORPORATE SOURCE: Laboratory of Biochemistry of Amines and Laboratory of Molecular Graphics Drug Design Institute of Biomedical Chemistry, Russian Academy of Medical Sciences, Moscow, 119832, Russia
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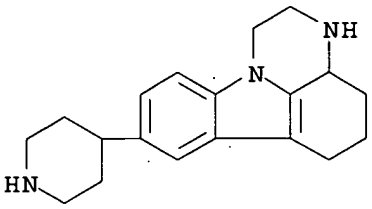
AB A series of pyrazinocarbazoles, analogs of the short-acting antidepressant pirlindole (2,3,3a,4,5,6-hexahydro-8-methyl-1H-pyrazino[3,2,1-j,k]carbazole hydrochloride), were tested as inhibitors of monoamine oxidase A (MAO-A) and B (MAO-B). Rigid analogs exhibited potent and selective inhibition of MAO-A and have size limits (X:Y:Z) of 13.0 .times. 7.0 .times. 4.4 .ANG.. Besides MAO-A inhibition flexible analogs also demonstrated potent inhibition of MAO-B and in contrast to rigid analogs their inhibitory activity did not show the dependence on these sizes. The qual. information (steric and electrostatic coeffs.) from the 3D-QSAR with CoMFA models for MAO-A and -B are different, and this information can be used to det. the structural features influencing inhibitor selectivity.

IT **219518-43-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

10/ 053,168

study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3D-QSAR and CoMFA anal. in relation to inhibition of monoamine oxidase by Pirlindole analogs)

RN 219518-43-1 CAPLUS
CN 1H-Pyrazino[3,2,1-jk]carbazole, 2,3,3a,4,5,6-hexahydro-8-(4-piperidinyl)-(9CI) (CA INDEX NAME)



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